Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTABEM1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC 01	ChemPort single article sales feature unavailable
NEWS	3	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	4	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	5	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	6	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	7	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS	8	FEB 10	COMPENDEX reloaded and enhanced
NEWS	9	FEB 11	WTEXTILES reloaded and enhanced
NEWS	10	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS	11	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS	12	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	13	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	14	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	15	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	16	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	17	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	18	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	19	MAR 11	ESBIOBASE reloaded and enhanced
NEWS	20	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS	21	MAR 23	CA/CAplus enhanced with more than 250,000 patent equivalents from China
NEWS	22	MAR 30	IMSPATENTS reloaded and enhanced
NEWS	23	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS	24	APR 07	STN is raising the limits on saved answers

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN customer agreement. This agreement limits use to scientific research. Use for software development or design, implementation of commercial gateways, or use of CAS and STN data in the building of commercial products is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:35:01 ON 24 APR 2009

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 17:35:21 ON 24 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 APR 2009 HIGHEST RN 1138395-00-2
DICTIONARY FILE UPDATES: 23 APR 2009 HIGHEST RN 1138395-00-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

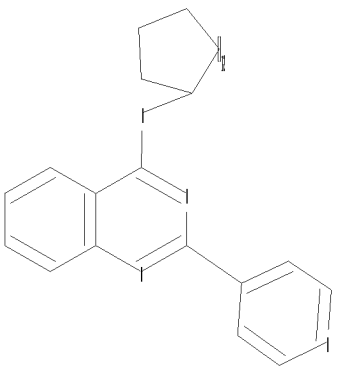
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

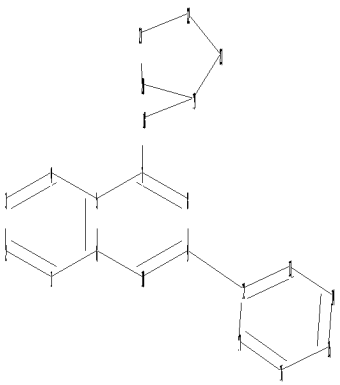
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10552426narrowest.str



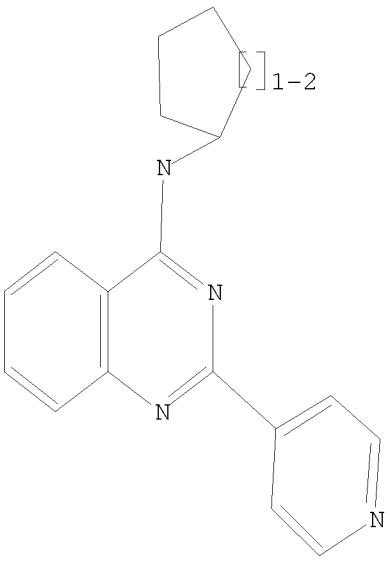


ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 19 20 21 22 23
ring/chain nodes :
18
chain bonds :
7-18 9-12 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 19-20 19-23 20-21 21-22 22-23
exact/norm bonds :
7-18 18-19 19-20 19-23 20-21 21-22 22-23
exact bonds :
9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
isolated ring systems :
containing 1 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:38:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 38 TO ITERATE

100.0% PROCESSED 38 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 391 TO 1129
PROJECTED ANSWERS: 3 TO 162

L2 3 SEA SSS SAM L1

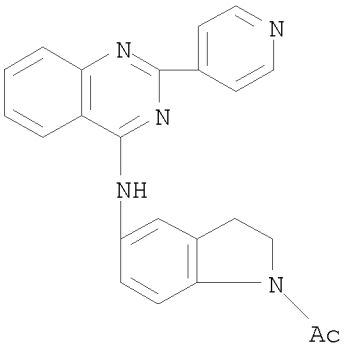
=> s l1 sss full
FULL SEARCH INITIATED 17:38:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 815 TO ITERATE

100.0% PROCESSED 815 ITERATIONS 59 ANSWERS
SEARCH TIME: 00.00.01

L3 59 SEA SSS FUL L1

=> d scan

L3 59 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Ethanone, 1-[2,3-dihydro-5-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-1H-indol-1-yl]-
MF C23 H19 N5 O

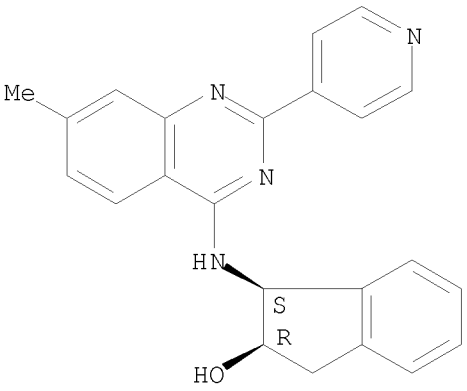


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

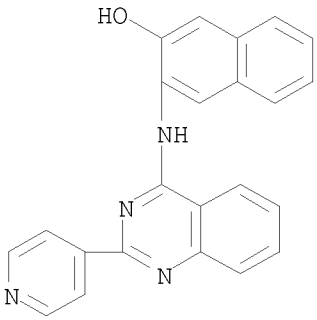
L3 59 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[7-methyl-2-(4-pyridinyl)-4-quinazolinyl]amino]-, (1S,2R)-
MF C23 H20 N4 O

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 59 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Naphthalenol, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-
MF C23 H16 N4 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	188.28	188.50

FILE 'CAPLUS' ENTERED AT 17:38:43 ON 24 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 24 Apr 2009 VOL 150 ISS 18
FILE LAST UPDATED: 23 Apr 2009 (20090423/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

```
=> d his

     (FILE 'HOME' ENTERED AT 17:35:01 ON 24 APR 2009)

     FILE 'REGISTRY' ENTERED AT 17:35:21 ON 24 APR 2009
L1             STRUCTURE UPLOADED
L2               3 S L1
L3              59 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:38:43 ON 24 APR 2009

=> s l3
L4              15 L3

=> s l5 and (pry<2004)
L5 NOT FOUND
The L-number entered could not be found.  To see the definition
of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l4 and (pry<2004)
       4270617 PRY<2004
L5              12 L4 AND (PRY<2004)

=> s 1-12 ibib abs hitstr
      10110032 1
       1630991 12
                16 IBIB
        250982 ABS
                 4 ABSES
        250986 ABS
                   (ABS OR ABSES)
L6              0 1-12 IBIB ABS HITSTR
                   (1(W)12(W)IBIB(W)ABS(W)HITSTR)

=> d l5 1-12 ibib abs hitstr

L5   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2004:902403  CAPLUS
DOCUMENT NUMBER:          141:374752
TITLE:                    Heterocyclic compound modulators of kinases,
                          particularly Tie-2 kinase, and use in the treatment of
                          kinase-dependent diseases
INVENTOR(S):              Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.;
```

Schnepp, Kevin; Shi, Xian; Nuss, John
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

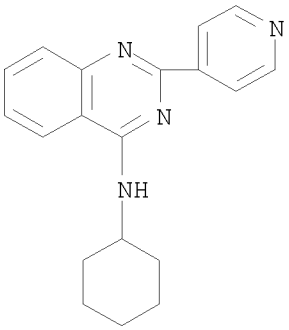
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092196	A2	20041028	WO 2004-US10858	20040408 <--
WO 2004092196	A3	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230928	A1	20041028	AU 2004-230928	20040408 <--
CA 2520323	A1	20041028	CA 2004-2520323	20040408 <--
EP 1610774	A2	20060104	EP 2004-749893	20040408 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006523238	T	20061012	JP 2006-509820	20040408 <--
US 20070161651	A1	20070712	US 2005-552426	20051007 <--
PRIORITY APPLN. INFO.:			US 2003-461446P P	20030409 <--
			WO 2004-US10858 A	20040408

OTHER SOURCE(S): MARPAT 141:374752

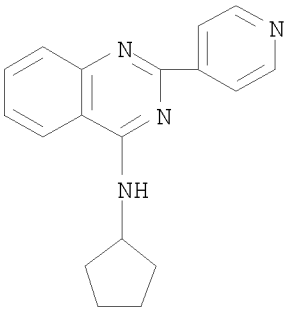
AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.

IT 332850-36-9P 781615-21-2P 781615-27-8P
781615-29-0P 781615-32-5P 781615-35-8P
781615-39-2P 781615-40-5P 781615-41-6P
781615-42-7P 781615-59-6P 781615-60-9P
781615-61-0P 781615-64-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

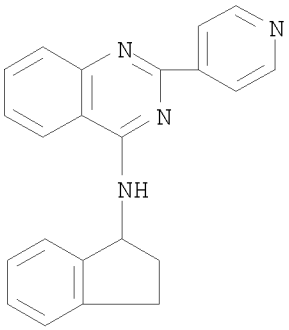
RN 332850-36-9 CAPLUS
CN 4-Quinazolinamine, N-cyclohexyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 781615-21-2 CAPLUS
CN 4-Quinazolinamine, N-cyclopentyl-2-(4-pyridinyl)- (CA INDEX NAME)

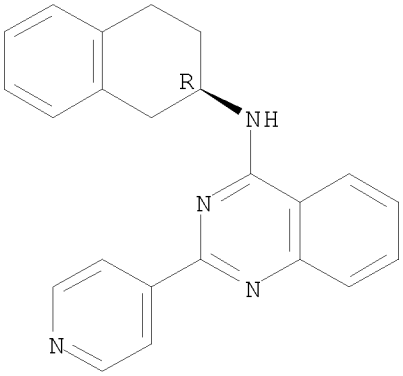


RN 781615-27-8 CAPLUS
CN 4-Quinazolinamine, N-(2,3-dihydro-1H-inden-1-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



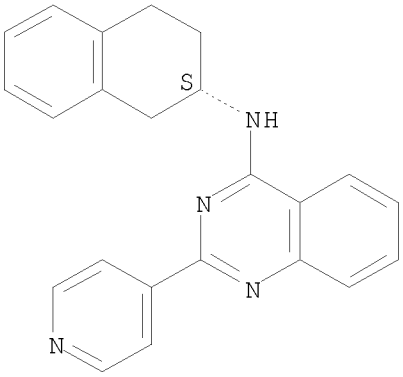
RN 781615-29-0 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[(2R)-1,2,3,4-tetrahydro-2-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



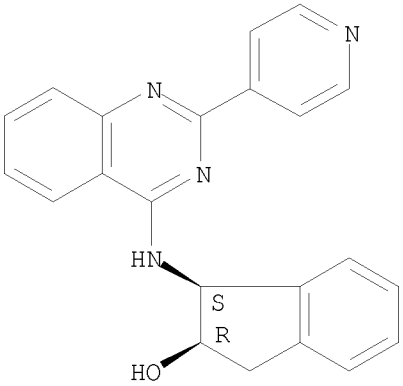
RN 781615-32-5 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[(2S)-1,2,3,4-tetrahydro-2-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



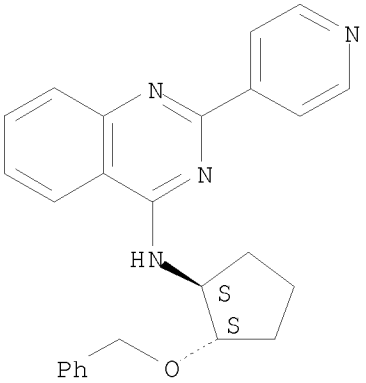
RN 781615-35-8 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.

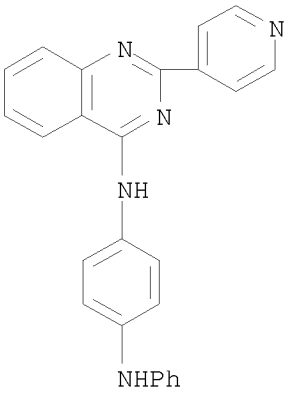


RN 781615-39-2 CAPLUS
CN 4-Quinazolinamine, N-[(1S,2S)-2-(phenylmethoxy)cyclopentyl]-2-(4-pyridinyl)- (CA INDEX NAME)

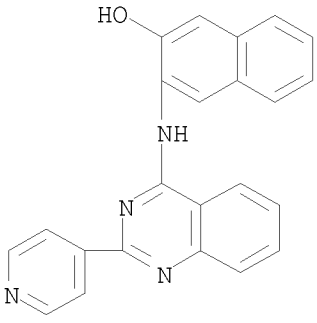
Absolute stereochemistry.



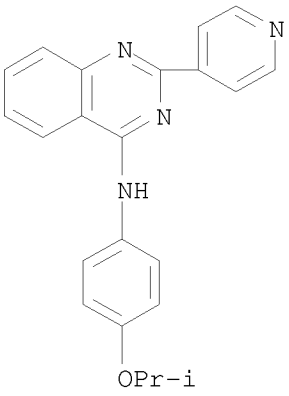
RN 781615-40-5 CAPLUS
CN 1,4-Benzenediamine, N1-phenyl-N4-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 781615-41-6 CAPLUS
CN 2-Naphthalenol, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

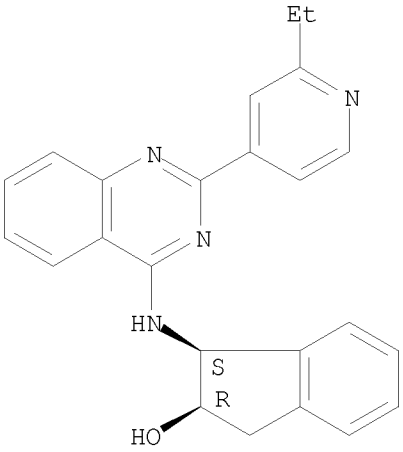


RN 781615-42-7 CAPLUS
CN 4-Quinazolinamine, N-[4-(1-methylethoxy)phenyl]-2-(4-pyridinyl)- (CA INDEX NAME)



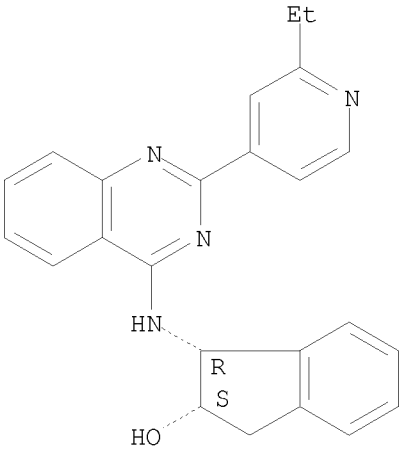
RN 781615-59-6 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2-ethyl-4-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



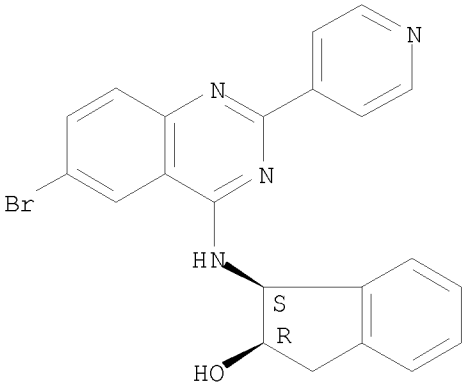
RN 781615-60-9 CAPLUS
CN 1H-Inden-2-ol, 1-[[2-(2-ethyl-4-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



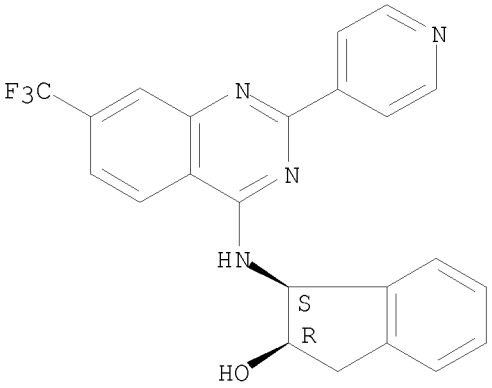
RN 781615-61-0 CAPLUS
CN 1H-Inden-2-ol, 1-[[6-bromo-2-(4-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



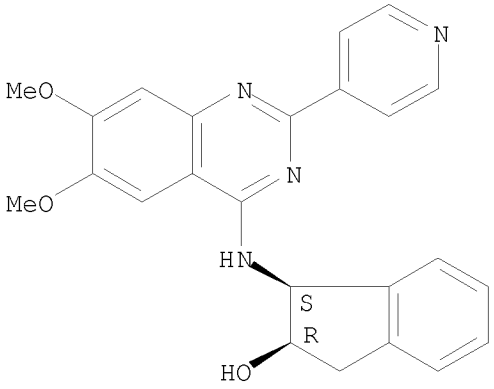
RN 781615-64-3 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(4-pyridinyl)-7-(trifluoromethyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



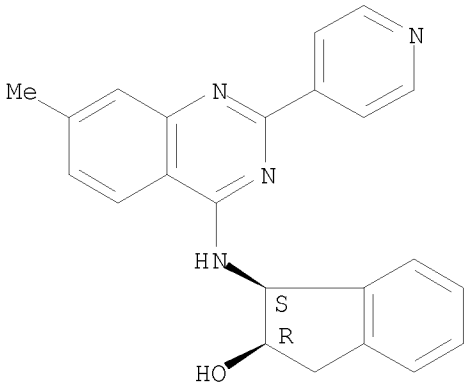
IT 781615-62-1 781615-67-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)
RN 781615-62-1 CAPLUS
CN 1H-Inden-2-ol, 1-[[6,7-dimethoxy-2-(4-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



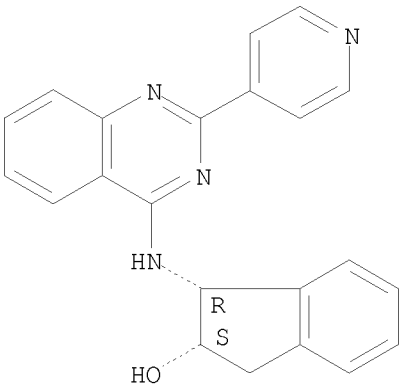
RN 781615-67-6 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[7-methyl-2-(4-pyridinyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 781615-84-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)
RN 781615-84-7 CAPLUS
CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (1R,2S)- (CA INDEX NAME)

Absolute stereochemistry.



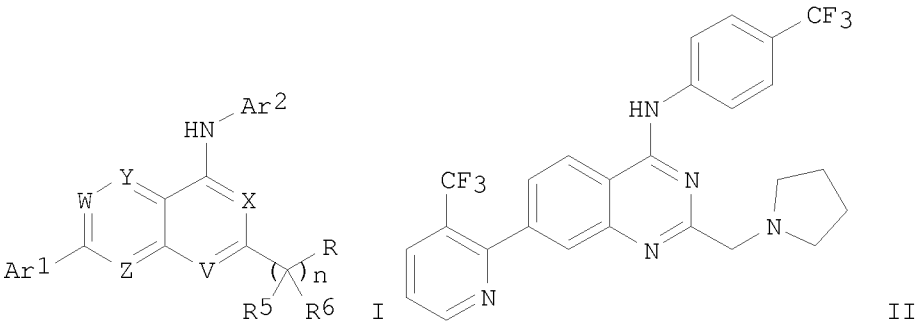
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:534191 CAPLUS
DOCUMENT NUMBER: 141:89100
TITLE: Preparation of (quinazolin-4-yl)amines as capsaicin receptor modulators
INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.; Brielmann, Harry; Caldwell, Timothy M.; De Lombaert, Stephane; Hodgetts, Kevin J.; Zheng, Xiaozhang
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004055003	A1	20040701	WO 2003-US39606		20031212 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2509233	A1	20040701	CA 2003-2509233		20031212 <--
AU 2003296984	A1	20040709	AU 2003-296984		20031212 <--
US 20040156869	A1	20040812	US 2003-735607		20031212 <--
EP 1569925	A1	20050907	EP 2003-813410		20031212 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003017168	A	20051101	BR 2003-17168		20031212 <--
CN 1726205	A	20060125	CN 2003-80105815		20031212 <--
JP 2006515846	T	20060608	JP 2004-560827		20031212 <--
MX 2005006123	A	20050930	MX 2005-6123		20050608 <--
PRIORITY APPLN. INFO.:			US 2002-433139P	P	20021213 <--
			WO 2003-US39606	W	20031212 <--

OTHER SOURCE(S): MARPAT 141:89100

GI

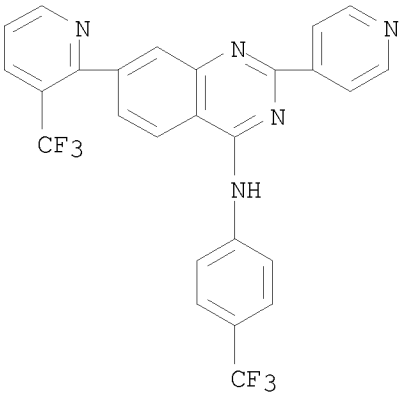


AB Title compds. I [wherein V, W, X, Y, and Z = independently N, CR1, with the proviso that at least one of V and X = N; R = OR7, NR3R4; R1 = independently H, halo, OH, CN, NH2, (halo)alkyl, (halo)alkoxy, alkoxycarbonyl, (di)alkylamino; R3 and R4 = independently H, (un)substituted (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R3 or R4 taken together with R5 or R6 forms an (un)substituted heterocycle; or NR3R4 = heterocyclyl; R5 and R6 = independently H, (un)substituted alkyl; or CR5R6 = CO; R7 = H, (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R7 taken together with R5 or R6 forms an (un)substituted heterocycle; n = 1-3; Ar1 and Ar2 = independently (un)substituted aryl, heterocyclyl; and pharmaceutically acceptable forms thereof] were prepared as modulators of capsaicin receptors, especially the vanilloid receptor 1 (VR1). For example, a solution of [2-(chloromethyl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine•HCl and pyrrolidine was heated to 100° for 1 h to give II. In competition binding assays, invention compds. exhibited Ki ≤ 1 μM for VR1 expressed in human embryonic kidney (HEK293) cells. Thus, I and their pharmaceutical compns. are useful for treating disorders associated with pathol. receptor activation, such as pain, in humans, domesticated companion animals, and livestock animals (no data).

IT 573686-39-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VR1 inhibitor; preparation of (quinazolin-4-yl)amines as VR1 inhibitors for treatment of pain and other VR1-mediated conditions)

RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



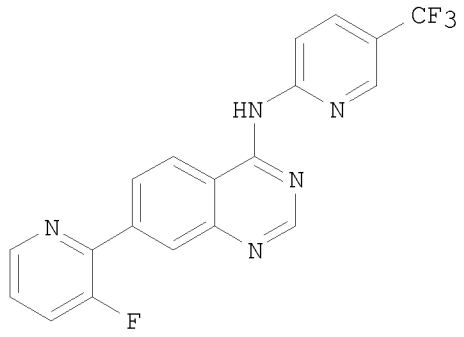
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:531361 CAPLUS
DOCUMENT NUMBER: 141:76702
TITLE: Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects
INVENTOR(S): Herzberg, Uri; Cortright, Daniel; Hurtt, Mark M.; Krause, James E.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

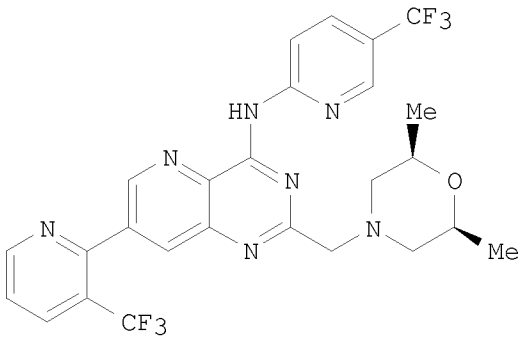
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054582	A1	20040701	WO 2003-US37209	20031119 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509616	A1	20040701	CA 2003-2509616	20031119 <--
AU 2003300791	A1	20040709	AU 2003-300791	20031119 <--
US 20040142958	A1	20040722	US 2003-718034	20031119 <--
EP 1581225	A1	20051005	EP 2003-813341	20031119 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006511535	T	20060406	JP 2004-560329	20031119 <--

PRIORITY APPLN. INFO.:
US 2002-433363P P 20021213 <--
WO 2003-US37209 W 20031119 <--

GI



I



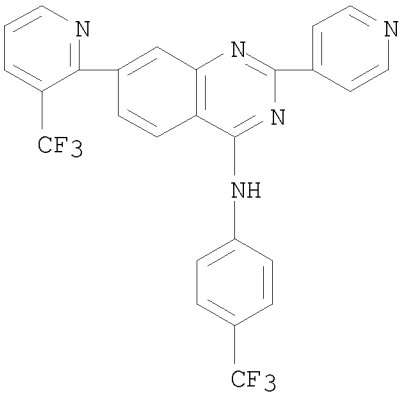
II

AB The invention relates to compns. comprising a nontoxic vanilloid receptor 1 (VR1) antagonist, optionally in combination with an addictive therapeutic agent, for the treatment of pain. Compns. and methods are further provided for inhibiting the development of tolerance to addictive therapeutic agents (especially narcotic analgesics) in patients treated with such agents, for minimizing adverse effects (e.g., dependence) resulting from treatment with such addictive agents, and for enhancing pain relief resulting from narcotic analgesic administration. Patients may be treated with a VR1 antagonist before, during, or after administration of the addictive therapeutic agent to prevent, decrease the severity of, delay, or treat tolerance and/or other adverse effects of the addictive agent in the patient. Examples include synthetic methods and limited data for the preparation of representation heteroarylamine VR1 antagonists, as well as capsaicin receptor binding assays and numerous pain model assays. For instance, coupling of 7-bromo-4-chloroquinazoline with 2-amino-5-trifluoromethylpyridine, followed by addition of 3-fluoro-2-tributylstannylpyridine provided I. In a bioassay testing the inhibition of tolerance to morphine, rats receiving morphine plus II exhibited statistically significantly higher withdrawal thresholds than any other treatment group, indicating that the VR1 antagonist prevents tolerance to repeated morphine dosing.

IT 573686-39-2
RL: PRPH (Prophetic)
(Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects)

RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:931342 CAPLUS
DOCUMENT NUMBER: 140:791
TITLE: Treatment of fibroproliferative disorders using TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003229305	A1	20031202	AU 2003-229305	20030516 <--
US 20040038856	A1	20040226	US 2003-440428	20030516 <--
EP 1511738	A1	20050309	EP 2003-726892	20030516 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
US 2002-381720P P 20020517 <--
US 2003-440428 A 20030516 <--
WO 2003-US15514 W 20030516 <--

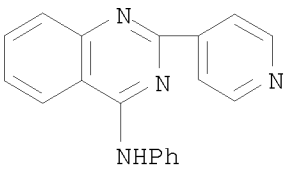
OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline

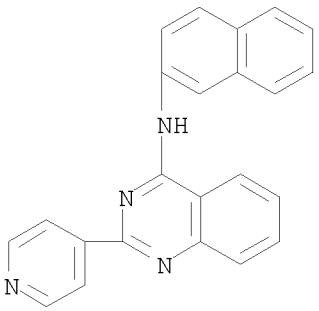
derivs. The invention also concerns methods for reversing the effect of TGF-β mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF-β mediated cell activation, with a non-peptide small mol. inhibitor of TGF-β, specifically binding a TGFβ-R1 receptor kinase present in the cell or tissue.

IT 157862-99-2 627535-99-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of fibroproliferative disorders using TGF-β inhibitors)

RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 627535-99-3 CAPLUS
CN 4-Quinazolinamine, N-2-naphthalenyl-2-(4-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

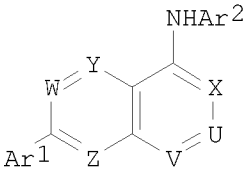
L5 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:591156 CAPLUS
DOCUMENT NUMBER: 139:149640
TITLE: Preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain
INVENTOR(S): Bakthavatchatam, Rajagopal; Blum, Charles A.; Brielmann, Harry L.; Caldwell, Timothy M.; De Lombaert, Stephane
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003062209	A2	20030731	WO 2003-US1563		20030117 <--
WO 2003062209	A3	20030904			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2473796	A1	20030731	CA 2003-2473796		20030117 <--
BR 2003006982	A	20041026	BR 2003-6982		20030117 <--
EP 1471910	A2	20041103	EP 2003-703887		20030117 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1627944	A	20050615	CN 2003-802452		20030117 <--
HU 2005000200	A2	20050728	HU 2005-200		20030117 <--
JP 2005526714	T	20050908	JP 2003-562090		20030117 <--
US 20040106616	A1	20040603	US 2003-347210		20030121 <--
US 7074799	B2	20060711			
IN 2004DN01958	A	20050401	IN 2004-DN1958		20040708 <--
MX 2004006882	A	20041206	MX 2004-6882		20040715 <--
ZA 2004005641	A	20050715	ZA 2004-5641		20040715 <--
NO 2004003411	A	20040924	NO 2004-3411		20040816 <--
US 20060173003	A1	20060803	US 2006-345926		20060201 <--
US 7304059	B2	20071204			
US 20080015183	A1	20080117	US 2007-864987		20070929 <--
PRIORITY APPLN. INFO.:			US 2002-349920P	P	20020117 <--
			US 2002-350527P	P	20020122 <--
			WO 2003-US1563	W	20030117 <--
			US 2003-347210	A3	20030121 <--
			US 2006-345926	A3	20060201

OTHER SOURCE(S): MARPAT 139:149640

GI



I

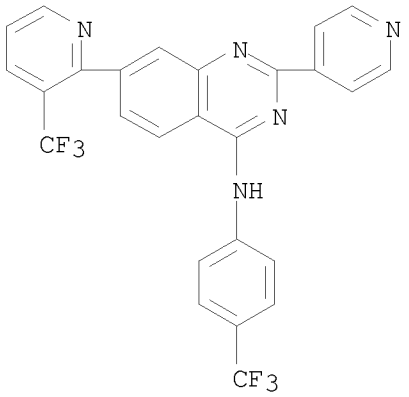
AB Substituted quinazolin-4-ylamine analogs (shown as I; variables defined below; e.g. (4-trifluoromethylphenyl)[7-(2-trifluoromethylphenyl)quinazolin-4-yl]amine) are provided. Such compds. are ligands that may be used to modulate VR1 capsaicin receptor activity in vivo or in vitro (no data), and are particularly useful in the treatment of conditions associated with pathol. receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies. For I; V, X, W, Y and Z are each independently N or CR1, with the proviso that at least one of V and X is N; U is N or CR2, with the proviso that if V and X are N, then U is CR2; R1 = H, halogen, hydroxy, amino, C1-C8 alkyl, haloC1-C8alkyl, C1-C8alkoxy, haloC1-C8alkoxy and mono- and di(C1-C8alkyl)amino. R2 = (i) H, halogen, cyano, or -COOH; (ii) C1-C8alkanoyl, C2-C8alkanone, or C1-C8carbamate, each of which is

(un)substituted with 1-9 substituents = Rb, or (iii) -Rc-M-A-Ry, wherein: Rc is C0-C3alkyl; M is a bond, N(Rz), O, S, SO2, (C:O)pN(Rz), N(Rz)(C:O)p, SO2N(Rz), or N(Rz)SO2, wherein p is 0 or 1; A is a bond or C1-C8alkyl, (un)substituted with 1-3 Rb. Ry and Rz, if present, are: (a) independently H, C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C6-C10arylC1-C8alkyl, C2-C8alkyl ether, C1-C8alkoxy, a 4- to 10-membered carbocycle or heterocycle, or joined to R1 to form a 4- to 10-membered carbocycle or heterocycle, wherein each Ry and Rz = (un)substituted with 1-9 Rb; or (b) joined to form a 4- to 10-membered carbocycle or heterocycle that is (un)substituted with 1-9 Rb; Ar2 is a 5- to 7-membered aromatic heterocycle, (un)substituted with 1-3 LRa. Ar1 is a 5- to 10-membered aromatic carbocycle or heterocycle, (un)substituted with 1-3 LRa; L = bond, -O-, -C(O)-, -OC(O)-, -C(O)O-, -O-C(O)O-, -S(O)m-, -NRx-, -C(O)NHRx-, -NHRxC(O)-, -NRxS(O)m-, -S(O)mNRx- and -N[S(O)mRx]S(O)m-; wherein m = 0, 1 and 2; and Rx = H and C1-C8alkyl; Ra = (i) H, halogen, cyano and nitro; and (ii) C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C2-C8alkyl ether, 3- to 10-membered heterocycles, mono- and di(C1-C8alkyl)amino and (3- to 10-membered heterocycle)C1-C6 alkyl, each of which is (un)substituted with 1-9 Rb. Rb = hydroxy, halogen, amino, aminocarbonyl, amido, cyano, nitro, C1-C8alkyl, C1-C8alkoxy, C1-C8alkylthio, C1-C8alkyl ether, hydroxyC1-C8alkyl, haloC1-C8alkyl, Ph, phenyl(C1-C8alkyl), mono and di(C1-C6 alkyl)amino, (SO2)C1-C8alkyl, 5- to 7-membered heterocycle and (5- to 7-membered heterocycle)(C1-C8alkyl). Although the methods of preparation are not claimed, many example prepns. and characterization data for >500 examples of I are included.

IT 573686-39-2P, [2-Pyridin-4-yl-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate and receptor detector; preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain and for detecting receptors)

RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:845560 CAPLUS
DOCUMENT NUMBER: 137:353051
TITLE: Preparation of quinazolines as TGF-β and/or

p38-α kinase inhibitors

INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

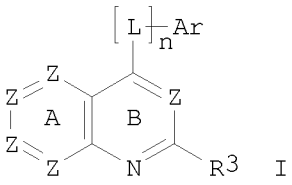
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6476031	B1	20021105	US 1999-383825	19990827 <--
US 6184226	B1	20010206	US 1998-141916	19980828
CN 1152867	C	20040609	CN 1999-811659	19990827 <--
AT 342256	T	20061115	AT 1999-949568	19990827 <--
ES 2274642	T3	20070516	ES 1999-949568	19990827 <--
US 6277989	B1	20010821	US 2000-525034	20000314 <--
US 20030069248	A1	20030410	US 2001-969936	20011002 <--
US 20020161010	A1	20021031	US 2001-972582	20011005 <--
US 6903096	B2	20050607		
US 20050171123	A1	20050804	US 2005-53121	20050207 <--
US 7345045	B2	20080318		
US 20050220784	A1	20051006	US 2005-136242	20050523 <--

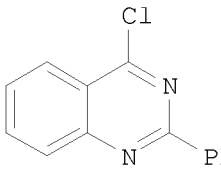
PRIORITY APPLN. INFO.: US 1998-141916 A2 19980828 <--
US 1999-383825 A3 19990827 <--
US 2001-969936 B1 20011002 <--
US 2001-972582 A3 20011005 <--

OTHER SOURCE(S): MARPAT 137:353051

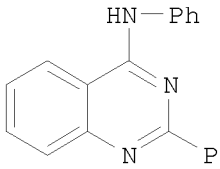
GI



I



II



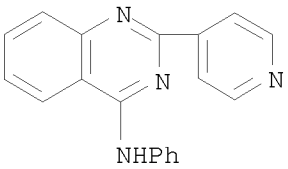
III

AB Title compds. I [R3 = (un)substituted aromatic; Ar = (un)substituted monocyclic or polycyclic aromatic; L = S(CR22)m, NR1SO2(CR22)l, SO2(CR22)m, etc.; Z = CR2, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R2 = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38-α kinase inhibition studies, 9-examples of compds. I

exhibited IC50 values in the range of 0.1-1.5 μM. Also, the specificity of compds. I for p38-α was assessed by their ability to inhibit other kinases, e.g., p38-y JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC50 values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.

IT 157862-99-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors)

RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

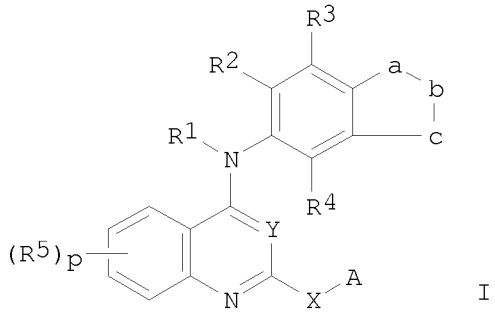


REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

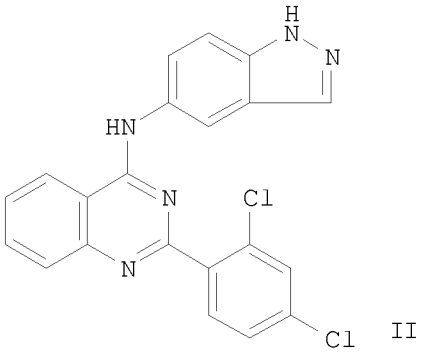
L5 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:754381 CAPLUS
DOCUMENT NUMBER: 137:279208
TITLE: Preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors
INVENTOR(S): Nagarathnam, Dhanapalan; Asgari, Davoud; Shao, Jianxing; Liu, Xiao-Gao; Khire, Uday; Wang, Chunguang; Hart, Barry; Boyer, Stephen; Weber, Olaf; Lynch, Mark; Bankston, Donald
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076976	A2	20021003	WO 2002-US8659	20020322 <--
WO 2002076976	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2441492	A1	20021003	CA 2002-2441492	20020322 <--
AU 2002250394	A1	20021008	AU 2002-250394	20020322 <--
US 20030125344	A1	20030703	US 2002-103566	20020322 <--
EP 1370553	A2	20031217	EP 2002-719303	20020322 <--
EP 1370553	B1	20060510		

```
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004524350         T     20040812    JP 2002-576234          20020322 <--
     AT 325795             T     20060615    AT 2002-719303          20020322 <--
     TW 261055             B     20060901    TW 2002-91105591        20020322 <--
     ES 2264477            T3    20070101    ES 2002-719303          20020322 <--
     US 20030220357        A1    20031127    US 2002-252369          20020924 <--
     CA 2507381            A1    20040408    CA 2003-2507381         20030924 <--
     WO 2004029045         A2    20040408    WO 2003-US29538         20030924 <--
     WO 2004029045         A3    20040722
        W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
            PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003270785         A1    20040419    AU 2003-270785          20030924 <--
     MX 2003008658         A     20050411    MX 2003-8658            20030924 <--
     EP 1542992            A2    20050622    EP 2003-752497          20030924 <--
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006508068         T     20060309    JP 2004-540124          20030924 <--
     EP 1953152            A1    20080806    EP 2008-103780          20030924 <--
        R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK
     HK 1061030            A1    20060908    HK 2004-104115          20040609 <--
     MX 2005003273         A     20051018    MX 2005-3273            20050323 <--
     US 20060142313        A1    20060629    US 2006-354977          20060216 <--
     US 20060142314        A1    20060629    US 2006-354978          20060216 <--
PRIORITY APPLN. INFO.:                       US 2001-277974P     P   20010323 <--
                                             US 2001-315341P     P   20010829 <--
                                             US 2001-315338P     P   20010829 <--
                                             US 2002-103565      B1  20020322 <--
                                             US 2002-103566      B1  20020322 <--
                                             WO 2002-US8659      W   20020322 <--
                                             US 2002-252369      A   20020924 <--
                                             EP 2003-752497      A3  20030924 <--
                                             WO 2003-US29538     W   20030924 <--
OTHER SOURCE(S):         CASREACT 137:279208; MARPAT 137:279208
GI
```



I



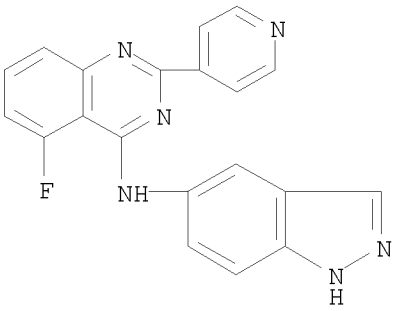
II

AB Title compds. I [Y = N, CR17; X = alkyl, alkoxy, thioalkoxy, amido, etc.; p = 0-3; a, c = CR5, NR6, etc.; b = CR5, N; A = H, halo, carboxy, cyano, alkoxy, etc.; B = (un)substituted up to 3 times in any position by R5; R1,6 = H, alkyl; R2-5 = H, alkyl, alkenyl; R17 = H, alkyl, CN with provisions] were prepared For instance, 2,4-Dichloroquinazoline (preparation given) was reacted with 5-aminoindazole (THF/H2O, KOAc) to give 2-(N-(1H-indazol-5-yl)amino)-4-chloroquinazoline in 92% yield. This was coupled to 2,4-dichlorophenylboronic acid (ethylene glycol di-Me ether, Pd(dppf)Cl2, NaHCO3, reflux) to give II. I are rho-kinase inhibitors and are useful for inhibiting tumor growth, treating erectile dysfunction and coronary heart disease.

IT 461037-54-7P, 5-Fluoro-N-(1H-indazol-5-yl)-2-(4-pyridinyl)-4-quinazolinamine 461037-55-8P 461037-82-1P, N-(1H-Indazol-5-yl)-7-methyl-2-(4-pyridinyl)-4-quinazolinamine 461037-83-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(rho-kinase inhibitor; preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors)

RN 461037-54-7 CAPLUS

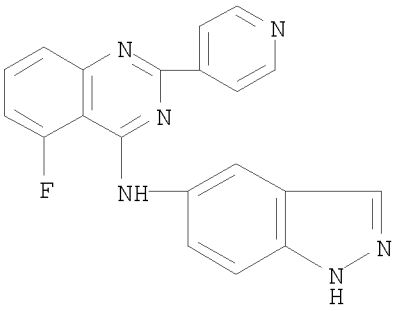
CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-55-8 CAPLUS
CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

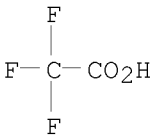
CM 1

CRN 461037-54-7
CMF C20 H13 F N6

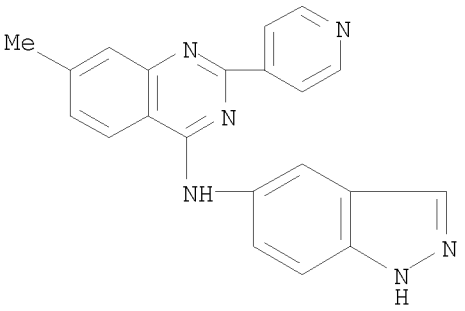


CM 2

CRN 76-05-1
CMF C2 H F3 O2



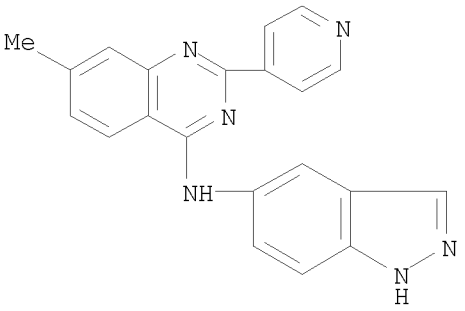
RN 461037-82-1 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-83-2 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

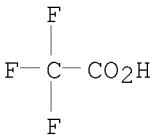
CM 1

CRN 461037-82-1
CMF C21 H16 N6



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

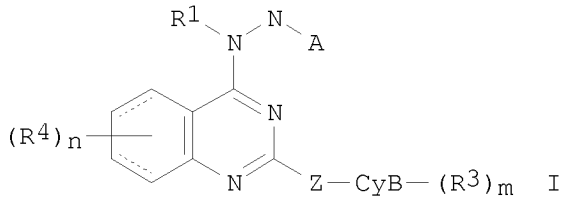
L5 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:158388 CAPLUS
DOCUMENT NUMBER: 136:200203
TITLE: Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont. of U.S. Ser. No.

60,444, abandoned.
CODEN: USXXCO

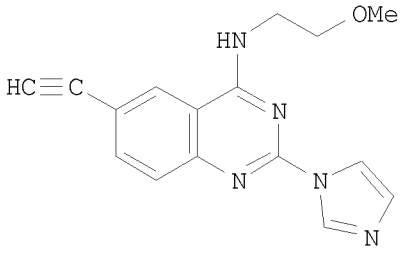
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020025968	A1	20020228	US 2001-952769	20010914 <--
PRIORITY APPLN. INFO.:			US 1998-60444 B1	19980415 <--

OTHER SOURCE(S): MARPAT 136:200203
GI



I

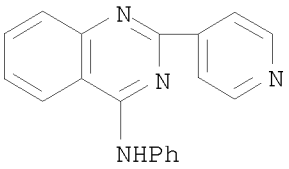


II

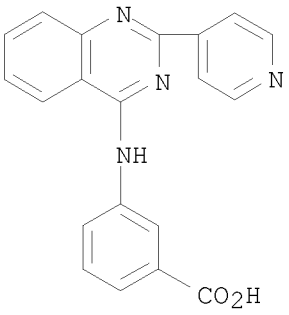
AB Title compds. I [wherein R1 = H or alkyl; Y = alkylene; A = ORa or S(O)pRa; Ra = alkylhydroxy; p = 0-2; Z = single bond, methylene, ethylene, vinylene, or ethynylene; CyB = heterocyclic ring; R3 = H, alkyl, alkoxy, halo, or CF3; R4 = H, alkyl, alkoxy, CO2H, carboxy ester, alkanoylamino, alkylsulfonylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, ethynyl, hydroxymethyl, acetyl, or (un)substituted sulfamoyl, carbamoyl, etc.; m and n = independently 1-2; or pharmaceutically acceptable salts or hydrates thereof] were prepared for inhibiting neoplastic cells and related conditions. For example, amination of 2,4-dichloro-6-(2-triethylsilylethynyl)quinazolin-2,4-dione (preparation given) with 2-methoxyethylamine in CHCl3, followed by addition of imidazole in EtOH and deprotection using NBu4F, afforded II. I are useful in the treatment of precancerous and cancerous lesions, including malignant melanomas, breast cancer, and colon cancer (no data).

IT 157862-99-2 157863-22-4 1102370-13-7
RL: PRPH (Prophetic)
(Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

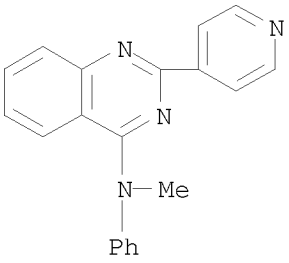
RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 1102370-13-7 CAPLUS
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



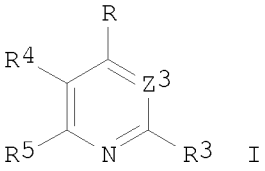
●2 HCl

L5 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:161275 CAPLUS
DOCUMENT NUMBER: 132:194387
TITLE: Preparation of quinazolines as p38-α kinase and TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2000012497	A2	20000309	WO 1999-US19846		19990827	<--
WO 2000012497	A3	20000629				
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM						
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
US 6184226	B1	20010206	US 1998-141916		19980828	
CA 2342250	A1	20000309	CA 1999-2342250		19990827	<--
AU 9962413	A	20000321	AU 1999-62413		19990827	<--
AU 771947	B2	20040408				
EP 1107959	A2	20010620	EP 1999-949568		19990827	<--
EP 1107959	B1	20061011				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY						
BR 9913648	A	20020102	BR 1999-13648		19990827	<--
JP 2002523502	T	20020730	JP 2000-567525		19990827	<--
CN 1152867	C	20040609	CN 1999-811659		19990827	<--
AT 342256	T	20061115	AT 1999-949568		19990827	<--
ES 2274642	T3	20070516	ES 1999-949568		19990827	<--
MX 2001002175	A	20030714	MX 2001-2175		20010228	<--
HK 1035897	A1	20070601	HK 2001-106212		20010904	<--
PRIORITY APPLN. INFO.:			US 1998-141916	A	19980828	<--
			WO 1999-US19846	W	19990827	<--

OTHER SOURCE(S): MARPAT 132:194387

GI



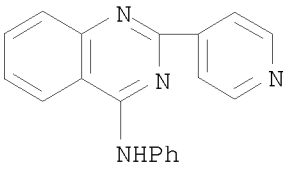
I

AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

IT 157862-99-2
RL: PRPH (Prophetic)
(Preparation of quinazolines as p38-α kinase and TGF-β inhibitors)

RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)

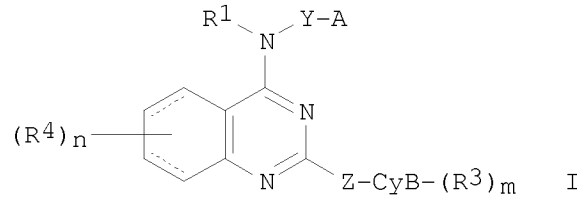


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

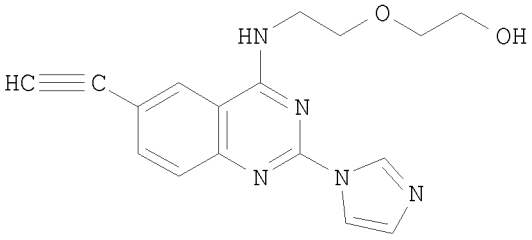
L5 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:795361 CAPLUS
DOCUMENT NUMBER: 124:29779
ORIGINAL REFERENCE NO.: 124:5715a,5718a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473 B2	19920715 <--
			US 1993-76431 B2	19930614 <--

OTHER SOURCE(S): MARPAT 124:29779
GI



I



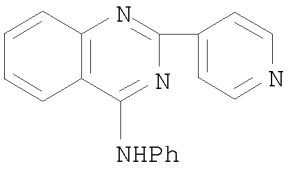
II

AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

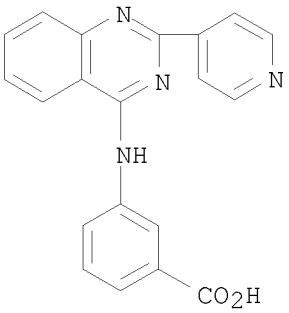
IT 157862-99-2P 157863-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

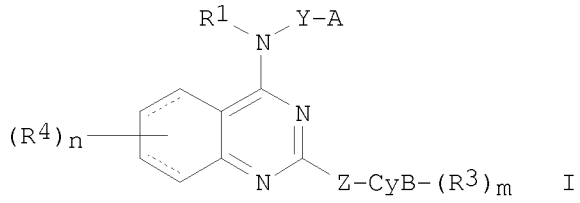


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:761961 CAPLUS
DOCUMENT NUMBER: 123:340173
ORIGINAL REFERENCE NO.: 123:61059a,61062a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436233	A	19950725	US 1993-154518	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715 <--
US 1993-76431 B2 19930614 <--
OTHER SOURCE(S): CASREACT 123:340173; MARPAT 123:340173
GI

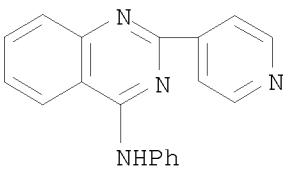


I

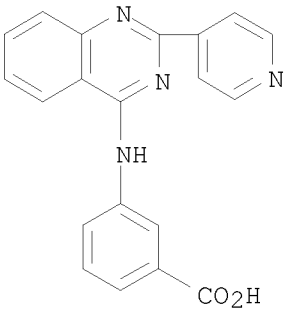
AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)l, (ii) OR0 or S(O)pR0 in which R0 is R0A or R0B; R0A is CyA-(R2)l; R0B is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF3, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is, e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.

IT 157862-99-2P 157863-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

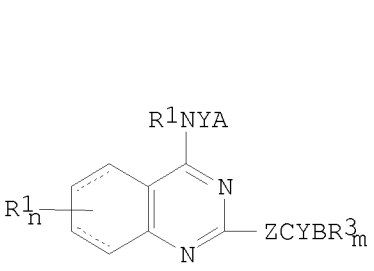


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

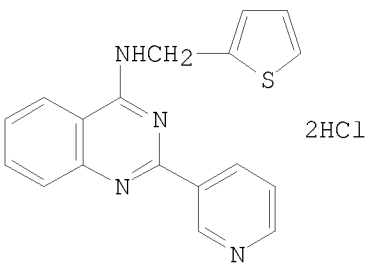
L5 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:605373 CAPLUS
DOCUMENT NUMBER: 121:205373
ORIGINAL REFERENCE NO.: 121:37397a,37400a
TITLE: 4-aminoquinazoline derivatives, and their use as medicine
INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest Taras; Kondo, Kigen; Yu, Dingwei Tim
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <--
EP 579496	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 A 19920715 <--
US 1993-76431 A 19930614 <--
OTHER SOURCE(S): MARPAT 121:205373
GI



I

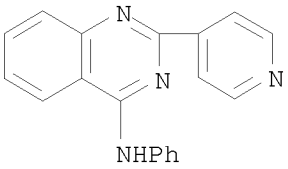


II

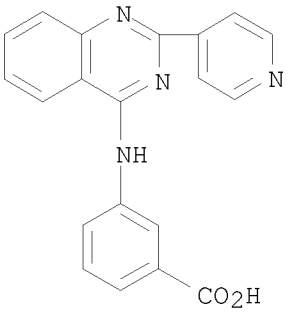
AB The title compds. I wherein R1 is H or alkyl; Y is bond or alkylene; A is (i) -CyAR2, (ii) -OR0 or -S(O)pR0, R0 = H, alkyl, etc., p is 0-2, (iii) -NR16R17, R16, R17 are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R2 is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR5, in which R5 is H or alkyl, (5) -NR6R7, R6, R7 are H, alkyl, (6) -SO2NR6R7, (7) halogen, (8) CF3, (9) NO2 or (10) CF3O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R3 is H, alkyl, alkoxy, halogen or CF3; R4 is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA2 synthetase. Thus, a representative prepared compound II had inhibitory activity IC50 of 3.6 x 10-7 on cGMP-PDE.

IT 157862-99-2P 157863-22-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiovascular agents)

RN 157862-99-2 CAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-22-4 CAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



=> fil stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	84.62	273.12

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.84	-9.84

FILE 'STNGUIDE' ENTERED AT 17:42:47 ON 24 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 17, 2009 (20090417/UP).

=>
=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.15	276.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.84

FILE 'REGISTRY' ENTERED AT 18:09:40 ON 24 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 23 APR 2009 HIGHEST RN 1138395-00-2
DICTIONARY FILE UPDATES: 23 APR 2009 HIGHEST RN 1138395-00-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

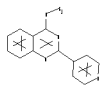
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

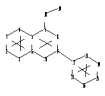
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10552426secondnarrowest.str





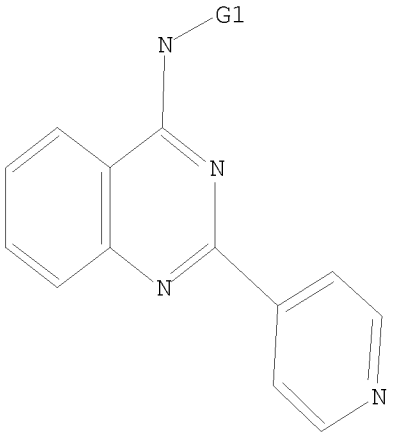
chain nodes :
19
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17
ring/chain nodes :
18
chain bonds :
7-18 9-12 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
exact/norm bonds :
7-18 18-19
exact bonds :
9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
isolated ring systems :
containing 1 : 12 :

G1:Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l7

SAMPLE SEARCH INITIATED 18:10:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 60 TO ITERATE

100.0% PROCESSED 60 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 736 TO 1664
PROJECTED ANSWERS: 5 TO 234

L8 5 SEA SSS SAM L7

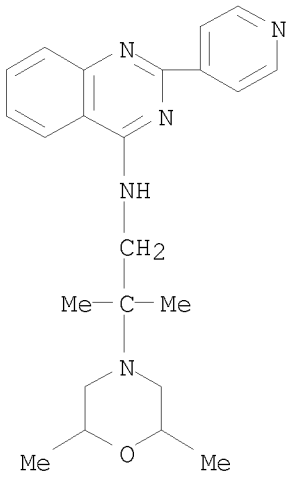
=> s l7 sss full
FULL SEARCH INITIATED 18:10:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1114 TO ITERATE

100.0% PROCESSED 1114 ITERATIONS 141 ANSWERS
SEARCH TIME: 00.00.01

L9 141 SEA SSS FUL L7

=> d scan

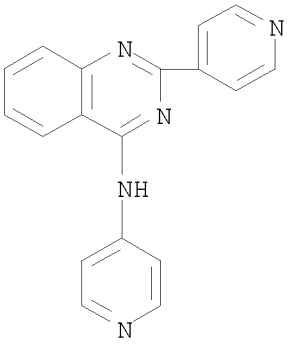
L9 141 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[2-(2,6-dimethyl-4-morpholinyl)-2-methylpropyl]-2-(4-pyridinyl)-
MF C23 H29 N5 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

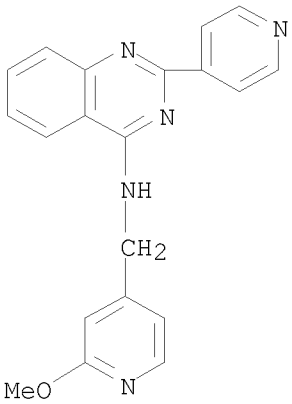
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L9 141 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N,2-di-4-pyridinyl-
MF C18 H13 N5



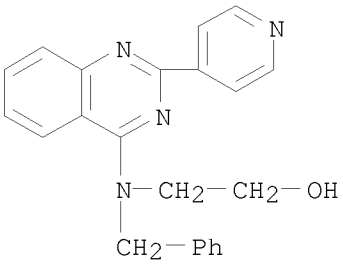
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 141 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-[(2-methoxy-4-pyridinyl)methyl]-2-(4-pyridinyl)-
MF C20 H17 N5 O



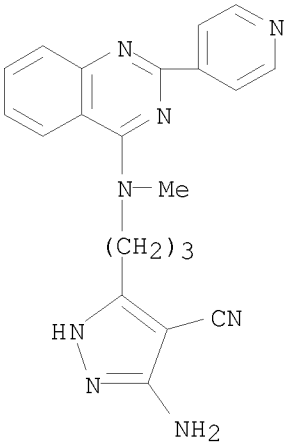
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 141 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Ethanol, 2-[(phenylmethyl)[2-(4-pyridinyl)-4-quinazolinyl]amino]-
MF C22 H20 N4 O



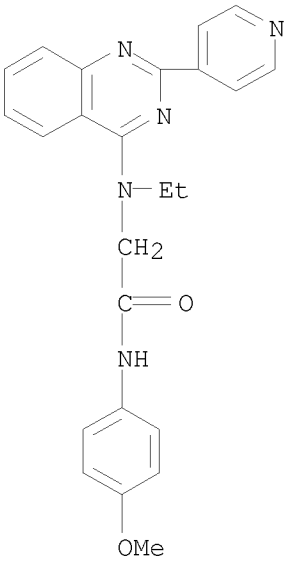
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 141 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C21 H20 N8



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 141 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, 2-[ethyl[2-(4-pyridinyl)-4-quinazolinyl]amino]-N-(4-methoxyphenyl)-
MF C24 H23 N5 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	186.36	462.63

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.84

FILE 'CAPLUS' ENTERED AT 18:11:02 ON 24 APR 2009

FILE COVERS 1907 - 24 Apr 2009 VOL 150 ISS 18
FILE LAST UPDATED: 23 Apr 2009 (20090423/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 17:35:01 ON 24 APR 2009)

     FILE 'REGISTRY' ENTERED AT 17:35:21 ON 24 APR 2009
L1   STRUCTURE UPLOADED
L2   3 S L1
L3   59 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:38:43 ON 24 APR 2009
L4   15 S L3
L5   12 S L4 AND (PRY<2004)
L6   0 S 1-12 IBIB ABS HITSTR

     FILE 'STNGUIDE' ENTERED AT 17:42:47 ON 24 APR 2009

     FILE 'REGISTRY' ENTERED AT 18:09:40 ON 24 APR 2009
L7   STRUCTURE UPLOADED
L8   5 S L7
L9   141 S L7 SSS FULL

     FILE 'CAPLUS' ENTERED AT 18:11:02 ON 24 APR 2009

=> s l9 and (pry<2004)
          25 L9
     4270617 PRY<2004
L10   21 L9 AND (PRY<2004)

=> d 1-21 ibib abs hitstr

L10 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902403 CAPLUS
DOCUMENT NUMBER: 141:374752
TITLE: Heterocyclic compound modulators of kinases,
                         particularly Tie-2 kinase, and use in the treatment of
                         kinase-dependent diseases
INVENTOR(S):   Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.;
                         Schnepp, Kevin; Shi, Xian; Nuss, John
PATENT ASSIGNEE(S):   Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

     PATENT NO.   KIND   DATE   APPLICATION NO.   DATE
     ---------------   ----   --------   --------------------   --------
     WO 2004092196   A2   20041028   WO 2004-US10858   20040408 <--
     WO 2004092196   A3   20050317
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

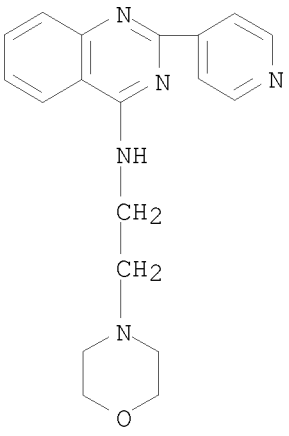
AU 2004230928	A1	20041028	AU 2004-230928		20040408 <--
CA 2520323	A1	20041028	CA 2004-2520323		20040408 <--
EP 1610774	A2	20060104	EP 2004-749893		20040408 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
JP 2006523238	T	20061012	JP 2006-509820		20040408 <--
US 20070161651	A1	20070712	US 2005-552426		20051007 <--
PRIORITY APPLN. INFO.:			US 2003-461446P	P	20030409 <--
			WO 2004-US10858	A	20040408

OTHER SOURCE(S): MARPAT 141:374752

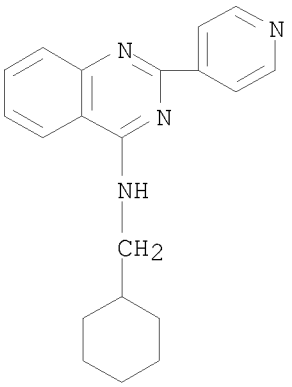
AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.

IT 18590-70-0P 781615-22-3P 781615-23-4P
781615-24-5P 781615-25-6P 781615-26-7P
781615-36-9P 781615-37-0P 781615-38-1P
781615-48-3P 781615-55-2P 781615-57-4P
781615-76-7P 781615-77-8P 781615-78-9P
781615-82-5P 781615-83-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

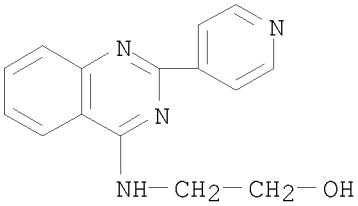
RN 18590-70-0 CAPLUS
CN 4-Quinazolinamine, N-[2-(4-morpholinyl)ethyl]-2-(4-pyridinyl)- (CA INDEX NAME)



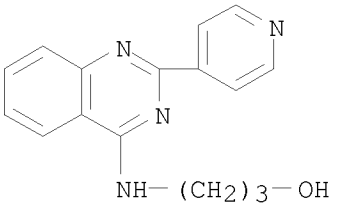
RN 781615-22-3 CAPLUS
CN 4-Quinazolinamine, N-(cyclohexylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



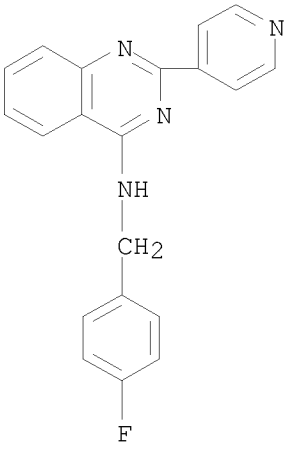
RN 781615-23-4 CAPLUS
CN Ethanol, 2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



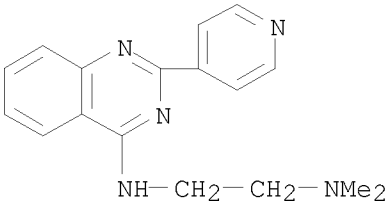
RN 781615-24-5 CAPLUS
CN 1-Propanol, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



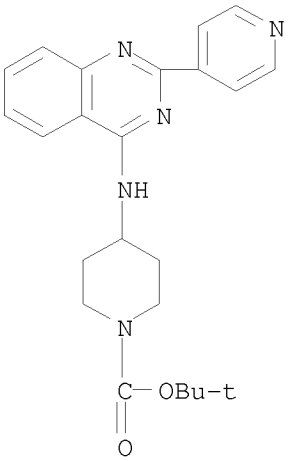
RN 781615-25-6 CAPLUS
CN 4-Quinazolinamine, N-[(4-fluorophenyl)methyl]-2-(4-pyridinyl)- (CA INDEX NAME)



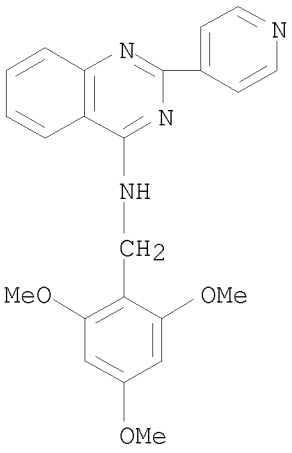
RN 781615-26-7 CAPLUS
CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[2-(4-pyridinyl)-4-quinazolinyl]-
(CA INDEX NAME)



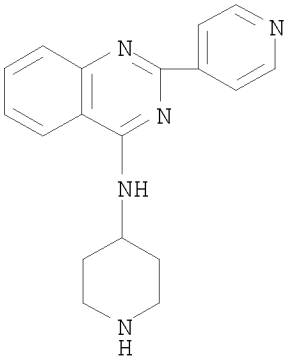
RN 781615-36-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-,
1,1-dimethylethyl ester (CA INDEX NAME)



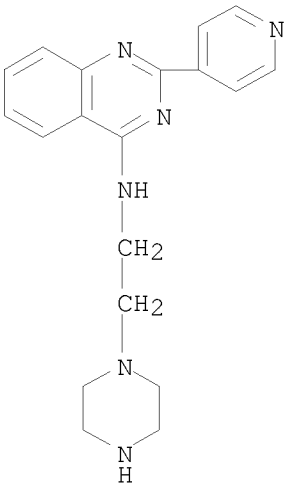
RN 781615-37-0 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[(2,4,6-trimethoxyphenyl)methyl]-
(CA INDEX NAME)



RN 781615-38-1 CAPLUS
CN 4-Quinazolinamine, N-4-piperidinyl-2-(4-pyridinyl)- (CA INDEX NAME)

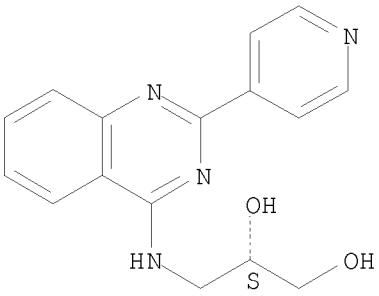


RN 781615-48-3 CAPLUS
CN 4-Quinazolinamine, N-[2-(1-piperazinyl)ethyl]-2-(4-pyridinyl)- (CA INDEX NAME)



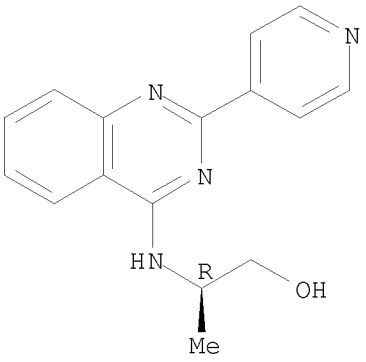
RN 781615-55-2 CAPLUS
CN 1,2-Propanediol, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



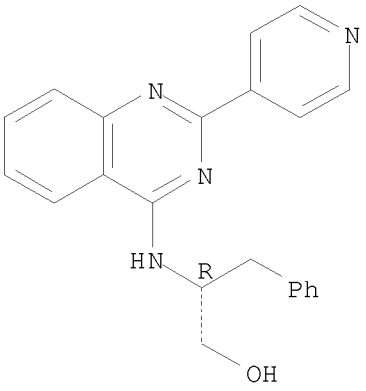
RN 781615-57-4 CAPLUS
CN 1-Propanol, 2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 781615-76-7 CAPLUS
CN Benzenepropanol, β-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (βR)- (CA INDEX NAME)

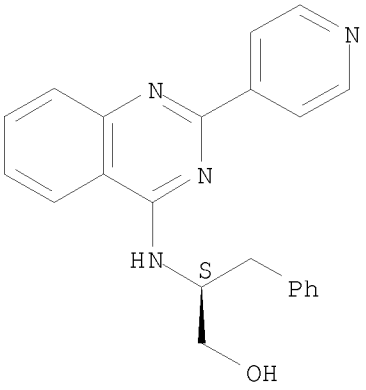
Absolute stereochemistry.



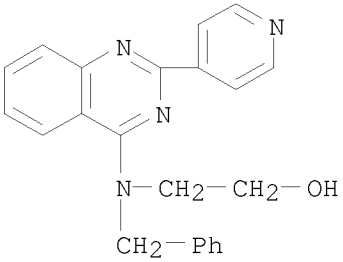
RN 781615-77-8 CAPLUS

CN Benzenepropanol, β-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (βS)- (CA INDEX NAME)

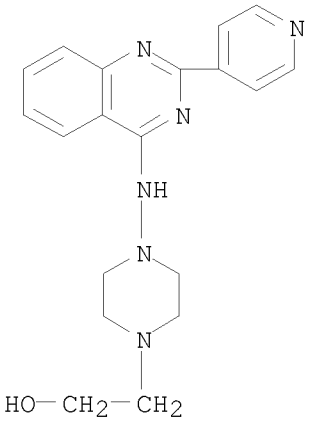
Absolute stereochemistry.



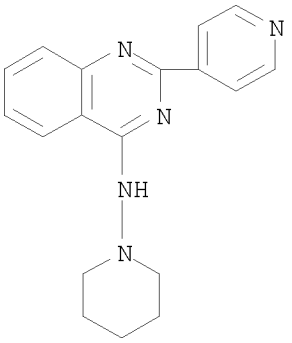
RN 781615-78-9 CAPLUS
CN Ethanol, 2-[(phenylmethyl)[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 781615-82-5 CAPLUS
CN 1-Piperazineethanol, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

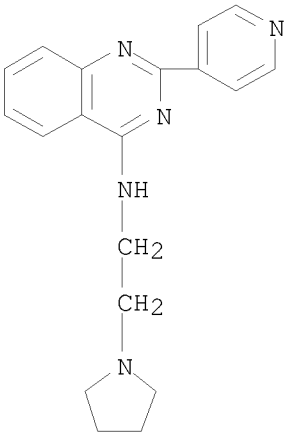


RN 781615-83-6 CAPLUS
CN 4-Quinazolinamine, N-1-piperidinyl-2-(4-pyridinyl)- (CA INDEX NAME)



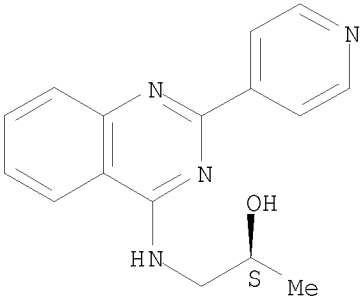
IT 781615-20-1 781615-58-5 781615-66-5
781615-69-8 781615-70-1 781615-71-2
781615-72-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

RN 781615-20-1 CAPLUS
CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



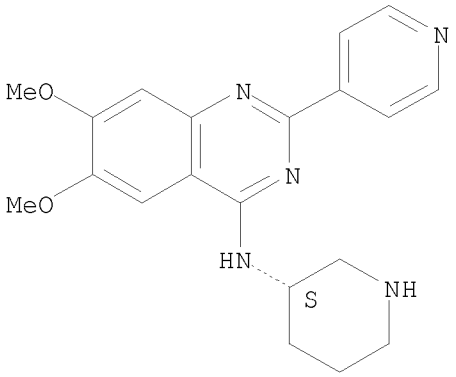
RN 781615-58-5 CAPLUS
CN 2-Propanol, 1-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



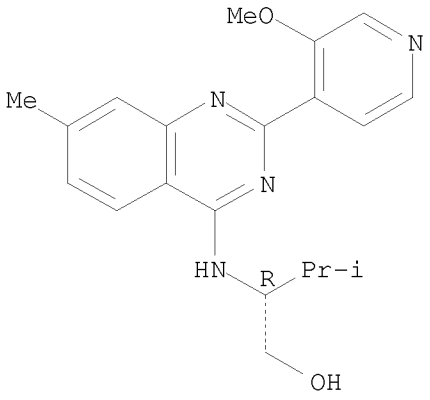
RN 781615-66-5 CAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(3S)-3-piperidinyl-2-(4-pyridinyl)-
(CA INDEX NAME)

Absolute stereochemistry.



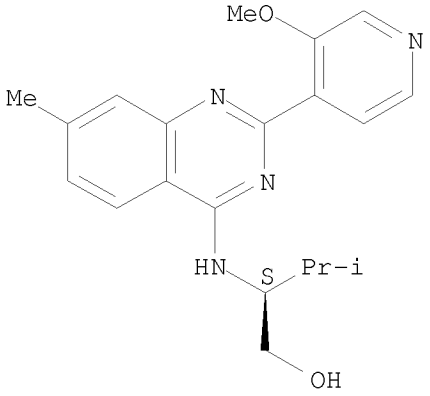
RN 781615-69-8 CAPLUS
CN 1-Butanol, 2-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-3-
methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



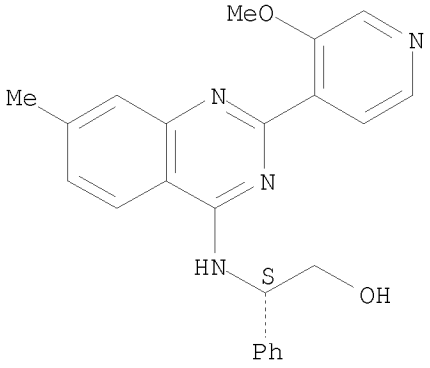
RN 781615-70-1 CAPLUS
CN 1-Butanol, 2-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-3-
methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



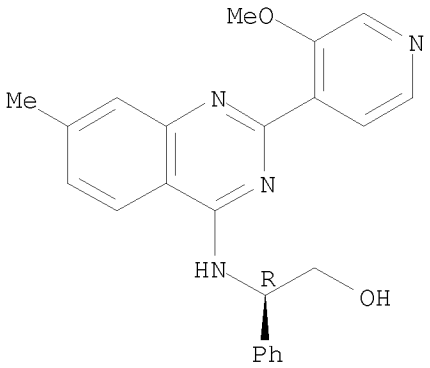
RN 781615-71-2 CAPLUS
CN Benzeneethanol, β-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-, (βS)- (CA INDEX NAME)

Absolute stereochemistry.



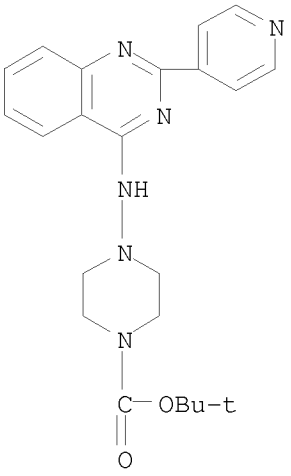
RN 781615-72-3 CAPLUS
CN Benzeneethanol, β-[[2-(3-methoxy-4-pyridinyl)-7-methyl-4-quinazolinyl]amino]-, (βR)- (CA INDEX NAME)

Absolute stereochemistry.



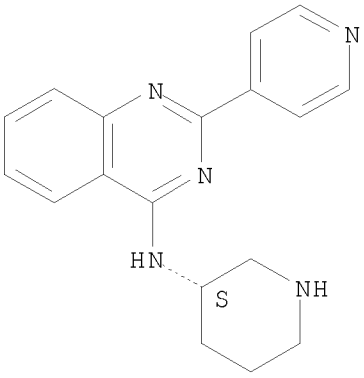
IT 781615-85-8P 781616-05-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase,

and use in treatment of kinase-dependent diseases)
RN 781615-85-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 781616-05-5 CAPLUS
CN 4-Quinazolinamine, N-(3S)-3-piperidinyl-2-(4-pyridinyl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:931342 CAPLUS
DOCUMENT NUMBER: 140:791
TITLE: Treatment of fibroproliferative disorders using TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003229305	A1	20031202	AU 2003-229305	20030516 <--
US 20040038856	A1	20040226	US 2003-440428	20030516 <--
EP 1511738	A1	20050309	EP 2003-726892	20030516 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:

US 2002-381720P	P	20020517 <--
US 2003-440428	A	20030516 <--
WO 2003-US15514	W	20030516 <--

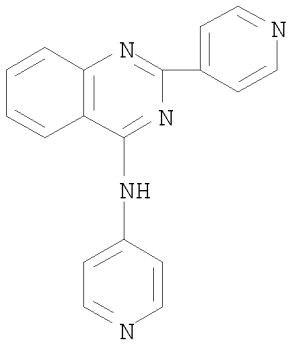
OTHER SOURCE(S): MARPAT 140:791

AB The invention concerns methods of treating fibroproliferative disorders associated with TGF-β signaling, by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF-β mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF-β mediated cell activation, with a non-peptide small mol. inhibitor of TGF-β, specifically binding a TGFβ-R1 receptor kinase present in the cell or tissue.

IT 474289-44-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of fibroproliferative disorders using TGF-β inhibitors)

RN 474289-44-6 CAPLUS

CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

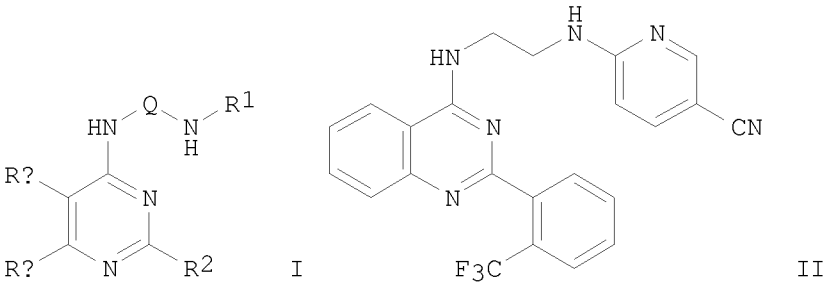
L10 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:472388 CAPLUS

DOCUMENT NUMBER: 139:53030
TITLE: Pyrimidine-based and quinazoline-based compounds useful as GSK-3 inhibitors
INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker, Marion W.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049739	A1	20030619	WO 2002-US39190	20021209 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469316	A1	20030619	CA 2002-2469316	20021209 <--
AU 2002364536	A1	20030623	AU 2002-364536	20021209 <--
AU 2002364536	B2	20081023		
US 20030199526	A1	20031023	US 2002-314905	20021209 <--
EP 1474147	A1	20041110	EP 2002-799913	20021209 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516005	T	20050602	JP 2003-550788	20021209 <--
MX 2004005510	A	20060224	MX 2004-5510	20040607 <--
ZA 2004005380	A	20050617	ZA 2004-5380	20040706 <--
PRIORITY APPLN. INFO.:			US 2001-338857P P	20011207 <--
			WO 2002-US39190 W	20021209 <--

OTHER SOURCE(S): MARPAT 139:53030
GI

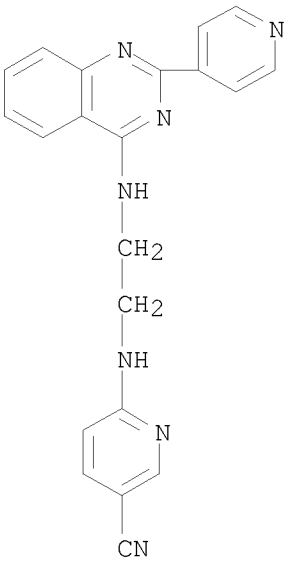


AB The invention provides a compound of formula I or a pharmaceutically acceptable derivative thereof [wherein: R1 = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted C1-4 alkylene chain with 0-2 non-adjacent CH2 optionally replaced by SO2 or CO; R2 = certain (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ra, Rb = -T-R3; or RaRb = atoms to complete fused,

partially saturated or aromatic, 5- to 8-membered ring with 0-3 N/O/S atom(s) and
optionally substituted by oxo, -T-R3, etc.; T = bond or C1-4 alkylene chain; R3 = H, halo, OH or derivs., NH2 or derivs., CN, SH or derivs., CHO or derivs., CO2H or derivs., etc.; including pharmaceutically acceptable derivs. and prodrugs]. The compds. are inhibitors of protein kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Prepns. of 37 compds. are described in detail. For instance, 4-chloro-2-(2-trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3β in vitro, 17 compds. I, including II, had Ki < 0.1 μM, and 16 compds. had Ki of 0.1 to 1.0 μM.

IT 544676-80-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)

RN 544676-80-4 CAPLUS
CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

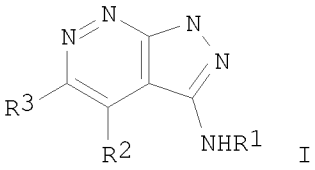
L10 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:849586 CAPLUS
DOCUMENT NUMBER: 137:370099
TITLE: Preparation of 3-aminopyrazolo[3,4-c]pyridazines as inhibitors of glycogen synthase kinase-3 and crystal structures of gsk-3β protein and protein complexes
INVENTOR(S): Ter Haar, Ernst; Swenson, Lovorka; Green, Jeremy;

Arnost, Michael J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 778 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088078	A2	20021107	WO 2002-US13511	20020429 <--
WO 2002088078	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444882	A1	20021107	CA 2002-2444882	20020429 <--
AU 2002259071	A1	20021111	AU 2002-259071	20020429 <--
US 20030125332	A1	20030703	US 2002-135255	20020429 <--
US 7390808	B2	20080624		
EP 1435957	A2	20040714	EP 2002-729056	20020429 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005504731	T	20050217	JP 2002-585380	20020429 <--
MX 2003009957	A	20050725	MX 2003-9957	20031030 <--
US 20080262205	A1	20081023	US 2008-79917	20080328 <--

PRIORITY APPLN. INFO.:
US 2001-287366P P 20010430 <--
US 2001-297094P P 20010608 <--
US 2002-361899P P 20020227 <--
US 2002-135255 A3 20020429 <--
WO 2002-US13511 W 20020429 <--

OTHER SOURCE(S): MARPAT 137:370099
GI



I

AB Title compds. [I; R1 = H, RCO, RO2C, (substituted) aliphatyl, carbocyclyl, heterocyclyl, heteroaryl, etc.; R2, R3 = H, (substituted) aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl, NR2, NRCOR, SR, OR, CF3, halo, NO2, cyano, etc.; R = H, (substituted) aliphatyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl], were prepared Thus, 3-chloro-4-cyano-5,6-diphenylpyridazine was refluxed with N2H4 in EtOH to give 3-amino-4,5-diphenyl-1H-pyrazolo[3,4-c]pyridazine. The latter inhibited gsk-3 with Ki≤0.1 μM.
IT 474381-74-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(crystal structure determination; preparation of pyrazolopyridazines as inhibitors
of gsk-3 and crystal structures of gsk-3β protein and protein complexes)
RN 474381-74-3 CAPLUS
CN Kinase (phosphorylating), glycogen synthetase (human isoenzyme 3β), compd. with N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-4-quinazolinamine (1:1) (9CI) (CA INDEX NAME)

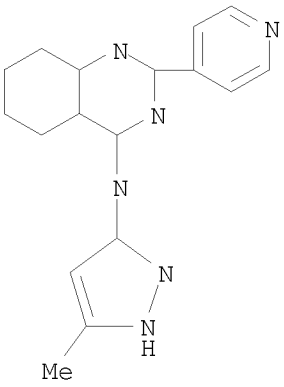
CM 1

CRN 474231-10-2
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 404828-10-0
CMF C17 H14 N6



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:845560 CAPLUS
DOCUMENT NUMBER: 137:353051
TITLE: Preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios, Inc., USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6476031	B1	20021105	US 1999-383825	19990827 <--

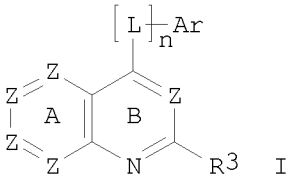
US 6184226	B1	20010206	US 1998-141916	19980828	
CN 1152867	C	20040609	CN 1999-811659	19990827	<--
AT 342256	T	20061115	AT 1999-949568	19990827	<--
ES 2274642	T3	20070516	ES 1999-949568	19990827	<--
US 6277989	B1	20010821	US 2000-525034	20000314	<--
US 20030069248	A1	20030410	US 2001-969936	20011002	<--
US 20020161010	A1	20021031	US 2001-972582	20011005	<--
US 6903096	B2	20050607			
US 20050171123	A1	20050804	US 2005-53121	20050207	<--
US 7345045	B2	20080318			
US 20050220784	A1	20051006	US 2005-136242	20050523	<--

PRIORITY APPLN. INFO.:

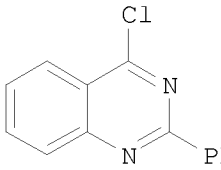
US 1998-141916	A2	19980828 <--
US 1999-383825	A3	19990827 <--
US 2001-969936	B1	20011002 <--
US 2001-972582	A3	20011005 <--

OTHER SOURCE(S): MARPAT 137:353051

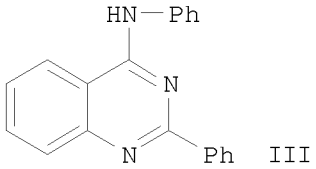
GI



I



II



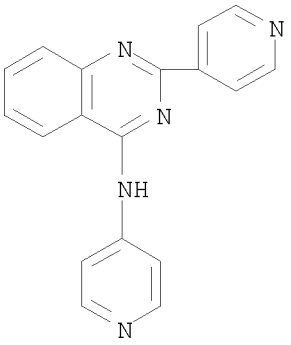
III

AB Title compds. I [R3 = (un)substituted aromatic; Ar = (un)substituted monocyclic or polycyclic aromatic; L = S(CR22)m, NR1SO2(CR22)l, SO2(CR22)m, etc.; Z = CR2, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R2 = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38-α kinase inhibition studies, 9-examples of compds. I exhibited IC50 values in the range of 0.1-1.5 μM. Also, the specificity of compds. I for p38-α was assessed by their ability to inhibit other kinases, e.g., p38-y JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC50 values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.

IT 474289-44-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolines as TGF-β and/or p38-α kinase inhibitors)

RN 474289-44-6 CAPLUS

CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)

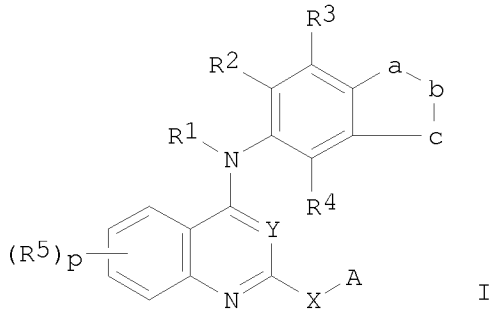


REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

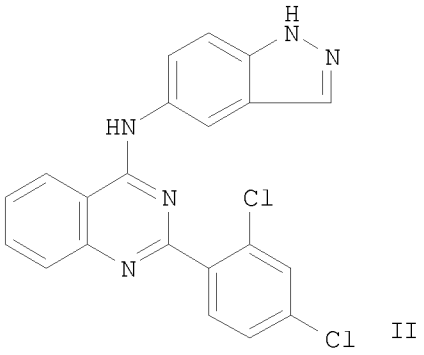
L10 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:754381 CAPLUS
DOCUMENT NUMBER: 137:279208
TITLE: Preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors
INVENTOR(S): Nagarathnam, Dhanapalan; Asgari, Davoud; Shao, Jianxing; Liu, Xiao-Gao; Khire, Uday; Wang, Chunguang; Hart, Barry; Boyer, Stephen; Weber, Olaf; Lynch, Mark; Bankston, Donald
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076976	A2	20021003	WO 2002-US8659	20020322 <--
WO 2002076976	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2441492	A1	20021003	CA 2002-2441492	20020322 <--
AU 2002250394	A1	20021008	AU 2002-250394	20020322 <--
US 20030125344	A1	20030703	US 2002-103566	20020322 <--
EP 1370553	A2	20031217	EP 2002-719303	20020322 <--
EP 1370553	B1	20060510		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004524350	T	20040812	JP 2002-576234	20020322 <--
AT 325795	T	20060615	AT 2002-719303	20020322 <--
TW 261055	B	20060901	TW 2002-91105591	20020322 <--
ES 2264477	T3	20070101	ES 2002-719303	20020322 <--
US 20030220357	A1	20031127	US 2002-252369	20020924 <--
CA 2507381	A1	20040408	CA 2003-2507381	20030924 <--
WO 2004029045	A2	20040408	WO 2003-US29538	20030924 <--

```
     WO 2004029045         A3    20040722
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003270785         A1    20040419    AU 2003-270785          20030924 <--
     MX 2003008658         A     20050411    MX 2003-8658            20030924 <--
     EP 1542992            A2    20050622    EP 2003-752497          20030924 <--
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006508068         T     20060309    JP 2004-540124          20030924 <--
     EP 1953152            A1    20080806    EP 2008-103780          20030924 <--
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK
     HK 1061030            A1    20060908    HK 2004-104115          20040609 <--
     MX 2005003273         A     20051018    MX 2005-3273            20050323 <--
     US 20060142313        A1    20060629    US 2006-354977          20060216 <--
     US 20060142314        A1    20060629    US 2006-354978          20060216 <--
PRIORITY APPLN. INFO.:                        US 2001-277974P     P   20010323 <--
                                              US 2001-315341P     P   20010829 <--
                                              US 2001-315338P     P   20010829 <--
                                              US 2002-103565      B1  20020322 <--
                                              US 2002-103566      B1  20020322 <--
                                              WO 2002-US8659      W   20020322 <--
                                              US 2002-252369      A   20020924 <--
                                              EP 2003-752497      A3  20030924 <--
                                              WO 2003-US29538     W   20030924 <--
OTHER SOURCE(S):          CASREACT 137:279208; MARPAT 137:279208
GI
```



I



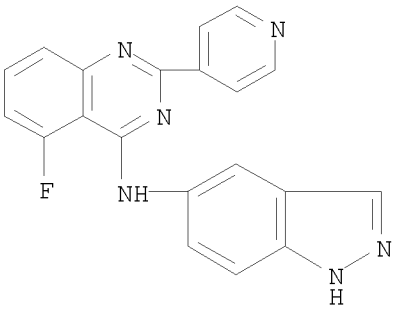
II

AB Title compds. I [Y = N, CR17; X = alkyl, alkoxy, thioalkoxy, amido, etc.; p = 0-3; a, c = CR5, NR6, etc.; b = CR5, N; A = H, halo, carboxy, cyano, alkoxy, etc.; B = (un)substituted up to 3 times in any position by R5; R1,6 = H, alkyl; R2-5 = H, alkyl, alkenyl; R17 = H, alkyl, CN with provisions] were prepared For instance, 2,4-Dichloroquinazoline (preparation given) was reacted with 5-aminoindazole (THF/H2O, KOAc) to give 2-(N-(1H-indazol-5-yl)amino)-4-chloroquinazoline in 92% yield. This was coupled to 2,4-dichlorophenylboronic acid (ethylene glycol di-Me ether, Pd(dppf)Cl2, NaHCO3, reflux) to give II. I are rho-kinase inhibitors and are useful for inhibiting tumor growth, treating erectile dysfunction and coronary heart disease.

IT 461037-54-7P, 5-Fluoro-N-(1H-indazol-5-yl)-2-(4-pyridinyl)-4-quinazolinamine 461037-55-8P 461037-82-1P, N-(1H-Indazol-5-yl)-7-methyl-2-(4-pyridinyl)-4-quinazolinamine 461037-83-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(rho-kinase inhibitor; preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors)

RN 461037-54-7 CAPLUS

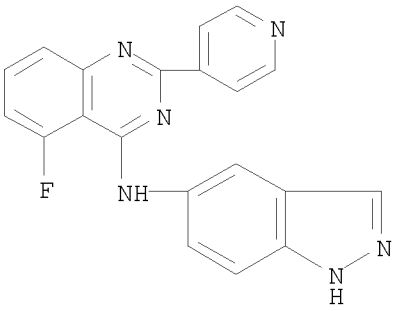
CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-55-8 CAPLUS
CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

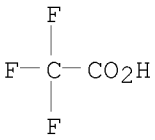
CM 1

CRN 461037-54-7
CMF C20 H13 F N6

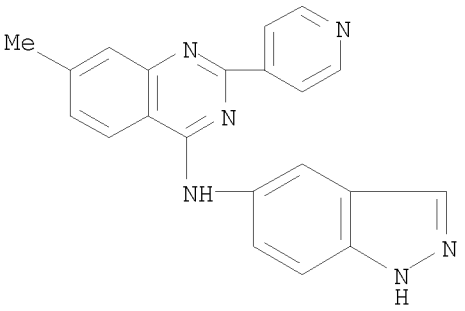


CM 2

CRN 76-05-1
CMF C2 H F3 O2



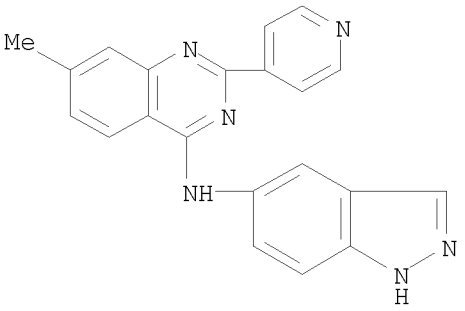
RN 461037-82-1 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-83-2 CAPLUS
CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

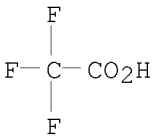
CM 1

CRN 461037-82-1
CMF C21 H16 N6



CM 2

CRN 76-05-1
CMF C2 H F3 O2



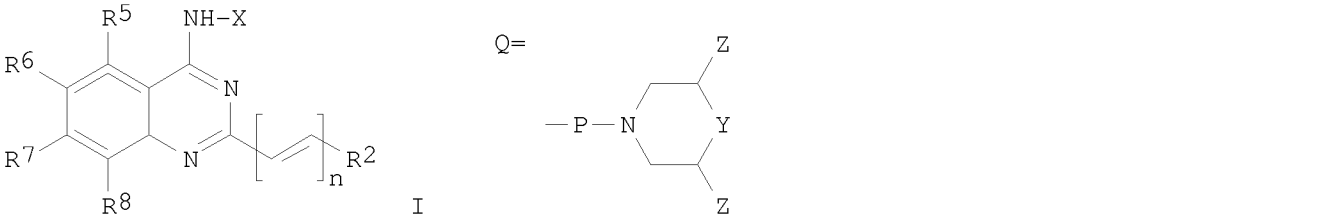
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:615578 CAPLUS
DOCUMENT NUMBER: 137:154942
TITLE: Preparation of novel quinazoline derivatives for preventing or treating inflammatory diseases caused by bacterial DNA
INVENTOR(S): Kisanuki, Sumitsugu; Tomizawa, Hideyuki; Isobe, Yoshiaki

PATENT ASSIGNEE(S): Japan Energy Corp., Japan
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062767	A1	20020815	WO 2002-JP1045	20020207 <--
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2002230181	A1	20020819	AU 2002-230181	20020207 <--

PRIORITY APPLN. INFO.: JP 2001-30973 A 20010207 <--
WO 2002-JP1045 W 20020207 <--
OTHER SOURCE(S): MARPAT 137:154942
GI



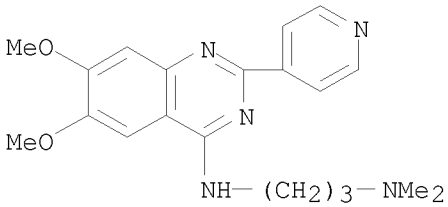
I

AB Disclosed are medicinal compns. for preventing or treating inflammatory diseases caused by bacterial DNA which contain as the active ingredient quinazoline derivs. represented by the following general formula (I) or pharmacol. acceptable salts thereof [wherein R5, R6, R7, R8 = H, substituents selected from a group of substituents A; or two adjacent groups of R5-R8 together represent methylenedioxy or CH:CHCH:CH; wherein substituents A = C1-4 alkyl, halo, OH, C1-4 alkoxy, C1-4 acyloxy, NR13R14 (R13, R14 = H, C1-4 alkyl), NHCOR15 (R15 = H, C1-4 alkyl), Ph, PhO, cyano, C1-4 acyl, CO2H, C2-5 alkoxycarbonyl, CONH2, N-(C1-4 alkyl)carbamoyl, N,N-di(C1-4 alkyl)carbamoyl; R2 = (un)substituted aryl or heteroaryl; n = 0, 1; X = a group of the following general formula -P-NR9R10 or Q; wherein P = (un)branched C2-6 alkylene; R9, R10 = H, C1-4 alkyl, C2-4 hydroxyalkyl, C3-6 alkoxyalkyl; Y = CHR11, O, S, NR12 (wherein R11 = H, C1-4 alkyl, OH, hydroxymethyl, methoxycarbonyl, ethoxycarbonyl; R12 = H, C1-4 alkyl, aryl optionally substituted by substituents A); Z = H or OH when Y = CHR11; Z = H when Y = O, S, or NR12]. Also disclosed are medicinal compns. containing I for preventing or treating autoimmune diseases or diseases caused by excessive production of TNF-α or IL-6. These compds. I inhibit the unusual production of TNF-α or IL-6 of macrophage or monocyte activated by bacterial DNA and are useful for treating or preventing diseases caused by unusual increase in cytokines, e.g. chronic articular rheumatism, systemic lupus erythematosus (SLE), septicemia, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, rejection of bone marrow transplant, hepatitis, type II diabetes, atrial myxoma, alc. hepatic cirrhosis, myeloma, and mesangium-proliferative nephritis. Thus, mesylation of 4-(4-hydroxybutylamino)-6,7-dimethoxy-2-(2-naphthyl)quinazoline by methanesulfonyl chloride and Et3N in CH2Cl2 under ice-cooling for 1 h and at room temperature for 4 h followed by amination with N-(2-methoxyethyl)ethylamine at room temperature at room temperature for 2 days gave

6,7-dimethoxy-4-(4-(ethyl-(2-methoxyethyl)amino)butylamino)-2-(2-naphthyl)quinazoline (II). II in vitro inhibited the production of TNF-α in mouse spleen cells with IC50 of 10 nM and that of IL-6 with IC50 of 32 nM.

IT 445401-96-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel quinazoline derivs. for preventing or treating inflammatory diseases caused by bacterial DNA)

RN 445401-96-7 CAPLUS
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(4-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

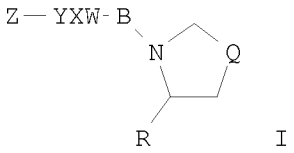
L10 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:504782 CAPLUS
DOCUMENT NUMBER: 137:78968
TITLE: Preparation of aminocarbonylpyrrolidine derivatives as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Ogawa, Akira
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051836	A1	20020704	WO 2001-JP11578	20011227 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433090	A1	20020704	CA 2001-2433090	20011227 <--
AU 2002216425	A1	20020708	AU 2002-216425	20011227 <--
EP 1354882	A1	20031022	EP 2001-271892	20011227 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040180925	A1	20040916	US 2003-465919	20031110 <--
PRIORITY APPLN. INFO.:			JP 2000-398441 A	20001227 <--

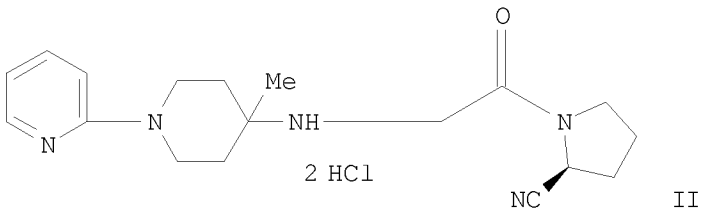
JP 2001-261409 A 20010830 <--
WO 2001-JP11578 W 20011227 <--

OTHER SOURCE(S): MARPAT 137:78968

GI



I



II

AB Title compds. [I; Q = CH2, S; R = H, (S)-CN; B = CH2CO, COCH2, CO; YXW = NHCH2CH2NH, NH(CH2)3NH, NHCH2C(CH3)2NH, 1-(4-methyl-piperidine-4-amino)-yl, 1-(1-aminomethylcyclopropyl)amino, 4-NHCH2C6H4CH2NH, N(CH3)CH2CH2N(CH3), 1,4-piperazinyl, 1-piperidinyl-4-amino, N(CH3)CH2C(CH3)2NH; Z = optionally substituted 1-pyrrolidinyl, optionally substituted 3-thiazolidinyl, optionally substituted 1-oxo-3-thiozolidinyl, etc.] and pharmacol. acceptable salts of title compds. are prepared as dipeptidyl peptidase IV inhibitors. Title compds. are useful as antidiabetics, antiaids agents, antiarteriosclerosis, antihyperglycinemia agents, and as remedies for hyperglycinemia, hyperinsulinism, etc. in combination with related remedies as GI-262570, KAD1229, etc. Thus, the title compound II was prepared and in vivo tested for DPP-IV inhibition with IC50 = 11 nmol/L.

IT 440099-77-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)

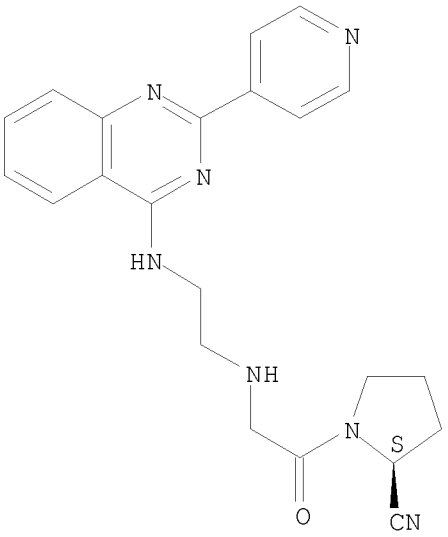
RN 440099-77-4 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[2-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]acetyl]-, (2S)-, methanesulfonate (1:2) (CA INDEX NAME)

CM 1

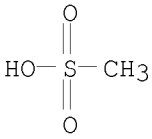
CRN 440099-76-3
CMF C22 H23 N7 O

Absolute stereochemistry.

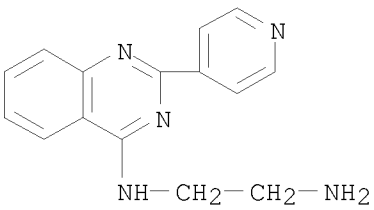


CM 2

CRN 75-75-2
CMF C H4 O3 S



IT 380588-03-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)
RN 380588-03-4 CAPLUS
CN 1,2-Ethanediamine, N1-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220584 CAPLUS
DOCUMENT NUMBER: 136:247584
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegtel, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 356 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

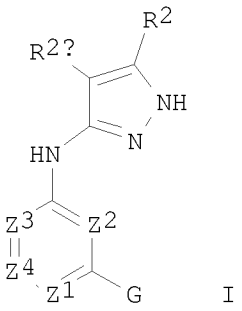
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422380	A1	20020321	CA 2001-2422380	20010914 <--
CA 2422380	C	20090324		
AU 2001096871	A	20020326	AU 2001-96871	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317452	A1	20030611	EP 2001-977779	20010914 <--
EP 1317452	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509118	T	20040325	JP 2002-526861	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
HU 2004001819	A2	20041228	HU 2004-1819	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--

```
AT 363284            T     20070615    AT 2001-977783          20010914 <--
NZ 545284            A     20070629    NZ 1984-5452            20010914 <--
CN 100355750         C     20071219    CN 2001-817427          20010914 <--
CA 2432303           A1    20020829    CA 2001-2432303         20011219 <--
AU 2002255452        A1    20020904    AU 2002-255452          20011219 <--
AU 2002255452        B2    20060608
CA 2432223           A1    20020906    CA 2001-2432223         20011219 <--
CA 2432223           C     20080520
AU 2001297619        A1    20020912    AU 2001-297619          20011219 <--
AU 2001297619        B2    20060608
EP 1345922           A1    20030924    EP 2001-271061          20011219 <--
EP 1345922           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905           A1    20031029    EP 2001-273861          20011219 <--
EP 1355905           B1    20070221
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472            A     20040430    NZ 2001-526472          20011219 <--
JP 2004518743        T     20040624    JP 2002-565976          20011219 <--
JP 2004519479        T     20040702    JP 2002-567928          20011219 <--
JP 4234435           B2    20090304
HU 2004000842        A2    20040728    HU 2004-842             20011219 <--
NZ 526473            A     20050624    NZ 2001-526473          20011219 <--
EP 1702920           A1    20060920    EP 2006-11799           20011219 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697        A     20040301    ZA 2003-1697            20030228 <--
ZA 2003001699        A     20040301    ZA 2003-1699            20030228 <--
ZA 2003001700        A     20040301    ZA 2003-1700            20030228 <--
ZA 2003001702        A     20040301    ZA 2003-1702            20030228 <--
ZA 2003001704        A     20040301    ZA 2003-1704            20030228 <--
ZA 2003001698        A     20040302    ZA 2003-1698            20030228 <--
IN 2003KN00294       A     20050311    IN 2003-KN294           20030310 <--
NO 2003001188        A     20030513    NO 2003-1188            20030314 <--
MX 2003002299        A     20030606    MX 2003-2299            20030317 <--
ZA 2003004468        A     20040624    ZA 2003-4468            20030609 <--
ZA 2003004469        A     20040624    ZA 2003-4469            20030609 <--
ZA 2003004470        A     20040624    ZA 2003-4470            20030609 <--
ZA 2003004471        A     20040624    ZA 2003-4471            20030609 <--
ZA 2003004473        A     20040624    ZA 2003-4473            20030609 <--
ZA 2003004475        A     20040624    ZA 2003-4475            20030609 <--
ZA 2003004472        A     20040625    ZA 2003-4472            20030609 <--
ZA 2003004474        A     20040625    ZA 2003-4474            20030609 <--
NO 2003002704        A     20030821    NO 2003-2704            20030613 <--
MX 2003005609        A     20031006    MX 2003-5609            20030620 <--
MX 2003005610        A     20031006    MX 2003-5610            20030620 <--
US 20040224944       A1    20041111    US 2003-624800          20030722 <--
US 7008948           B2    20060307
US 20040116454       A1    20040617    US 2003-692355          20031023 <--
US 7390815           B2    20080624
US 20040157893       A1    20040812    US 2003-722374          20031125 <--
HK 1057888           A1    20061124    HK 2003-108639          20031126 <--
US 20040132781       A1    20040708    US 2003-736426          20031215 <--
US 7087603           B2    20060808
US 20040167141       A1    20040826    US 2004-775699          20040210 <--
US 7427681           B2    20080923
HK 1060347           A1    20061201    HK 2004-101883          20040315 <--
JP 2005097322        A     20050414    JP 2004-366925          20041217 <--
US 20070270444       A1    20071122    US 2006-369220          20060306 <--
AU 2006201228        A1    20060413    AU 2006-201228          20060321 <--
AU 2006201229        A1    20060413    AU 2006-201229          20060321 <--
```

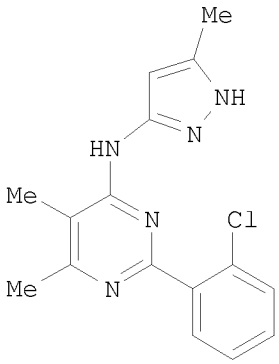
AU 2006201229	B2	20081120				
AU 2006201230	A1	20060413	AU 2006-201230		20060321	<--
AU 2006201230	B2	20080911				
AU 2006201262	A1	20060427	AU 2006-201262		20060321	<--
AU 2006201262	B2	20080904				
AU 2006201263	A1	20060427	AU 2006-201263		20060321	<--
AU 2006201263	B2	20081030				
AU 2006201264	A1	20060427	AU 2006-201264		20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265		20060321	<--
AU 2006201265	B2	20080904				
US 20060258658	A1	20061116	US 2006-492450		20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008260767	A	20081030	JP 2008-95584		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US42152	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-551562	A3	20011220	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	<--
			US 2003-624800	A3	20030722	<--
			US 2004-775699	A1	20040210	
			AU 2006-201396	A3	20060404	

OTHER SOURCE(S): MARPAT 136:247584

GI



I

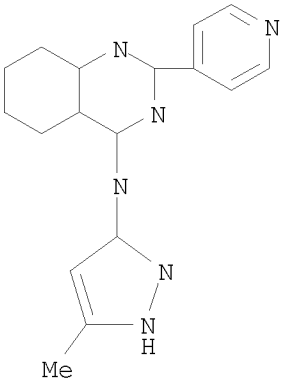


II

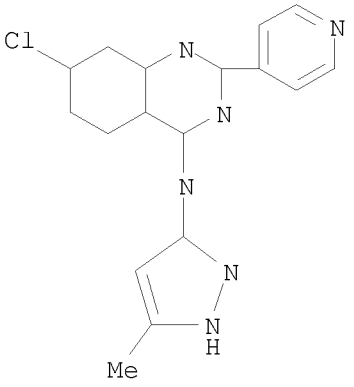
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

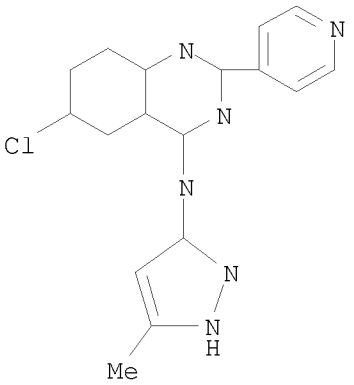
RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



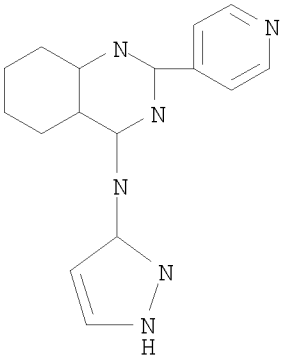
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



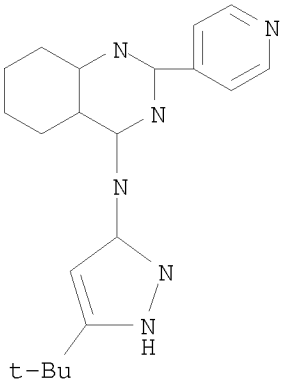
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220583 CAPLUS
DOCUMENT NUMBER: 136:247583
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422379	A1	20020321	CA 2001-2422379	20010914 <--
CA 2422379	C	20081118		
AU 2001091013	A	20020326	AU 2001-91013	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
BR 2001014088	A	20030617	BR 2001-14088	20010914 <--
EP 1318997	A1	20030618	EP 2001-971082	20010914 <--
EP 1318997	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 20030002173	A2	20030929	HU 2003-2173	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509117	T	20040325	JP 2002-526860	20010914 <--
JP 4170752	B2	20081022		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
NZ 525008	A	20041224	NZ 2001-525008	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--

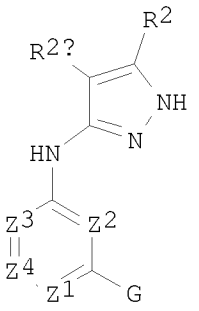
```
AT 327990            T     20060615    AT 2001-970971         20010914 <--
AT 327992            T     20060615    AT 2001-971082         20010914 <--
AT 327991            T     20060615    AT 2001-973050         20010914 <--
AT 326459            T     20060615    AT 2001-977779         20010914 <--
EP 1698627           A1    20060906    EP 2006-10798          20010914 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AT 346064            T     20061215    AT 2001-975210         20010914 <--
ES 2266258           T3    20070301    ES 2001-970971         20010914 <--
ES 2266259           T3    20070301    ES 2001-971082         20010914 <--
CN 1926132           A     20070307    CN 2001-817434         20010914 <--
AT 363284            T     20070615    AT 2001-977783         20010914 <--
NZ 545284            A     20070629    NZ 1984-5452           20010914 <--
CN 100355750         C     20071219    CN 2001-817427         20010914 <--
RU 2340611           C2    20081210    RU 2003-110575         20010914 <--
CA 2432303           A1    20020829    CA 2001-2432303        20011219 <--
AU 2002255452        A1    20020904    AU 2002-255452         20011219 <--
AU 2002255452        B2    20060608
CA 2432223           A1    20020906    CA 2001-2432223        20011219 <--
CA 2432223           C     20080520
AU 2001297619        A1    20020912    AU 2001-297619         20011219 <--
AU 2001297619        B2    20060608
EP 1345922           A1    20030924    EP 2001-271061         20011219 <--
EP 1345922           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905           A1    20031029    EP 2001-273861         20011219 <--
EP 1355905           B1    20070221
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472            A     20040430    NZ 2001-526472         20011219 <--
JP 2004518743        T     20040624    JP 2002-565976         20011219 <--
JP 2004519479        T     20040702    JP 2002-567928         20011219 <--
JP 4234435           B2    20090304
HU 2004000842        A2    20040728    HU 2004-842            20011219 <--
NZ 526473            A     20050624    NZ 2001-526473         20011219 <--
EP 1702920           A1    20060920    EP 2006-11799          20011219 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697        A     20040301    ZA 2003-1697           20030228 <--
ZA 2003001699        A     20040301    ZA 2003-1699           20030228 <--
ZA 2003001700        A     20040301    ZA 2003-1700           20030228 <--
ZA 2003001702        A     20040301    ZA 2003-1702           20030228 <--
ZA 2003001704        A     20040301    ZA 2003-1704           20030228 <--
ZA 2003001698        A     20040302    ZA 2003-1698           20030228 <--
IN 2003KN00295       A     20060922    IN 2003-KN295          20030310 <--
NO 2003001191        A     20030513    NO 2003-1191           20030314 <--
MX 2003002291        A     20030606    MX 2003-2291           20030317 <--
ZA 2003004468        A     20040624    ZA 2003-4468           20030609 <--
ZA 2003004469        A     20040624    ZA 2003-4469           20030609 <--
ZA 2003004470        A     20040624    ZA 2003-4470           20030609 <--
ZA 2003004471        A     20040624    ZA 2003-4471           20030609 <--
ZA 2003004473        A     20040624    ZA 2003-4473           20030609 <--
ZA 2003004475        A     20040624    ZA 2003-4475           20030609 <--
ZA 2003004472        A     20040625    ZA 2003-4472           20030609 <--
ZA 2003004474        A     20040625    ZA 2003-4474           20030609 <--
NO 2003002704        A     20030821    NO 2003-2704           20030613 <--
MX 2003005609        A     20031006    MX 2003-5609           20030620 <--
MX 2003005610        A     20031006    MX 2003-5610           20030620 <--
US 20040224944       A1    20041111    US 2003-624800         20030722 <--
US 7008948           B2    20060307
US 20040116454       A1    20040617    US 2003-692355         20031023 <--
```

US 7390815	B2	20080624				
US 20040157893	A1	20040812	US 2003-722374		20031125	<--
US 20040132781	A1	20040708	US 2003-736426		20031215	<--
US 7087603	B2	20060808				
HK 1058356	A1	20061201	HK 2003-109140		20031215	<--
US 20040167141	A1	20040826	US 2004-775699		20040210	<--
US 7427681	B2	20080923				
HK 1060347	A1	20061201	HK 2004-101883		20040315	<--
JP 2005097322	A	20050414	JP 2004-366925		20041217	<--
US 20070270444	A1	20071122	US 2006-369220		20060306	<--
AU 2006201228	A1	20060413	AU 2006-201228		20060321	<--
AU 2006201229	A1	20060413	AU 2006-201229		20060321	<--
AU 2006201229	B2	20081120				
AU 2006201230	A1	20060413	AU 2006-201230		20060321	<--
AU 2006201230	B2	20080911				
AU 2006201262	A1	20060427	AU 2006-201262		20060321	<--
AU 2006201262	B2	20080904				
AU 2006201263	A1	20060427	AU 2006-201263		20060321	<--
AU 2006201263	B2	20081030				
AU 2006201264	A1	20060427	AU 2006-201264		20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265		20060321	<--
AU 2006201265	B2	20080904				
US 20060258658	A1	20061116	US 2006-492450		20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008260767	A	20081030	JP 2008-95584		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US28940	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--

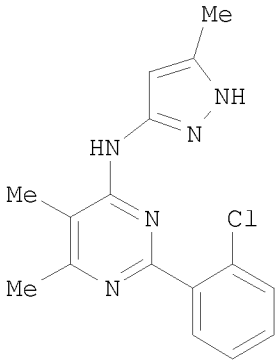
WO 2001-US49139	W	20011219 <--
WO 2001-US50312	W	20011219 <--
JP 2002-551562	A3	20011220 <--
JP 2002-559414	A3	20011220 <--
US 2001-34019	A3	20011220 <--
US 2001-34683	A1	20011220 <--
IN 2003-KN795	A3	20030619 <--
US 2003-624800	A3	20030722 <--
US 2004-775699	A1	20040210
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247583

GI



I



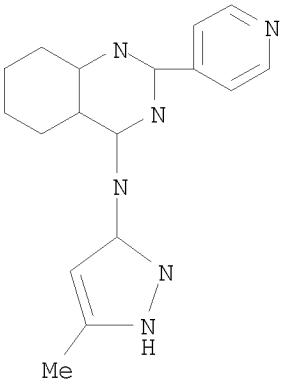
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine

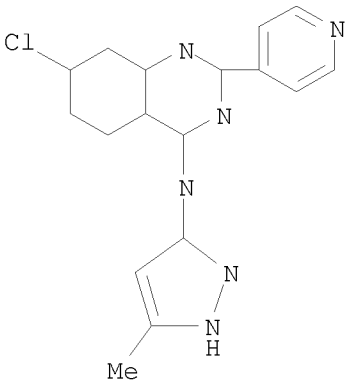
404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



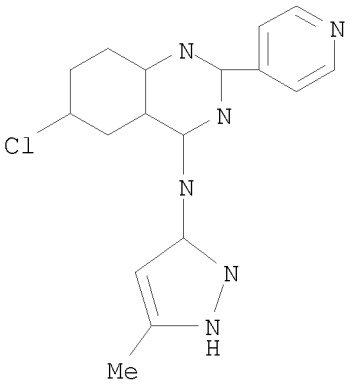
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

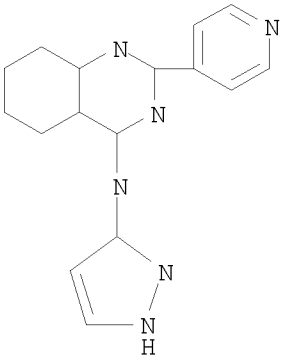


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

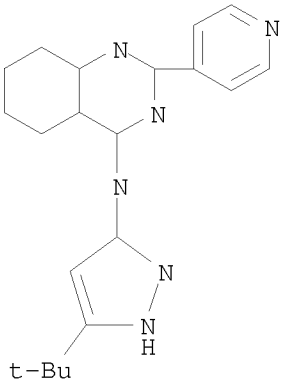
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220582 CAPLUS
DOCUMENT NUMBER: 136:247582
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422378	A1	20020321	CA 2001-2422378	20010914 <--
AU 2001090944	A	20020326	AU 2001-90944	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317448	A1	20030611	EP 2001-971006	20010914 <--
EP 1317448	B1	20050504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002411	A2	20031128	HU 2003-2411	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509116	T	20040325	JP 2002-526859	20010914 <--
JP 4105949	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
AT 294797	T	20050515	AT 2001-971006	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--

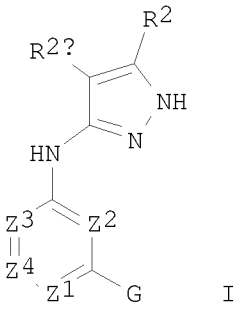
AT 327992 T 20060615 AT 2001-971082 20010914 <--
AT 327991 T 20060615 AT 2001-973050 20010914 <--
AT 326459 T 20060615 AT 2001-977779 20010914 <--
EP 1698627 A1 20060906 EP 2006-10798 20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AT 346064 T 20061215 AT 2001-975210 20010914 <--
ES 2266258 T3 20070301 ES 2001-970971 20010914 <--
ES 2266259 T3 20070301 ES 2001-971082 20010914 <--
AT 363284 T 20070615 AT 2001-977783 20010914 <--
NZ 545284 A 20070629 NZ 1984-5452 20010914 <--
CN 100355750 C 20071219 CN 2001-817427 20010914 <--
CA 2432303 A1 20020829 CA 2001-2432303 20011219 <--
AU 2002255452 A1 20020904 AU 2002-255452 20011219 <--
AU 2002255452 B2 20060608
CA 2432223 A1 20020906 CA 2001-2432223 20011219 <--
CA 2432223 C 20080520
AU 2001297619 A1 20020912 AU 2001-297619 20011219 <--
AU 2001297619 B2 20060608
EP 1345922 A1 20030924 EP 2001-271061 20011219 <--
EP 1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219 <--
EP 1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472 A 20040430 NZ 2001-526472 20011219 <--
JP 2004518743 T 20040624 JP 2002-565976 20011219 <--
JP 2004519479 T 20040702 JP 2002-567928 20011219 <--
JP 4234435 B2 20090304
HU 2004000842 A2 20040728 HU 2004-842 20011219 <--
NZ 526473 A 20050624 NZ 2001-526473 20011219 <--
EP 1702920 A1 20060920 EP 2006-11799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697 A 20040301 ZA 2003-1697 20030228 <--
ZA 2003001699 A 20040301 ZA 2003-1699 20030228 <--
ZA 2003001700 A 20040301 ZA 2003-1700 20030228 <--
ZA 2003001702 A 20040301 ZA 2003-1702 20030228 <--
ZA 2003001704 A 20040301 ZA 2003-1704 20030228 <--
ZA 2003001698 A 20040302 ZA 2003-1698 20030228 <--
IN 2003KN00293 A 20050311 IN 2003-KN293 20030310 <--
NO 2003001189 A 20030513 NO 2003-1189 20030314 <--
KR 876069 B1 20081226 KR 2003-703800 20030314 <--
MX 2003002293 A 20030606 MX 2003-2293 20030317 <--
ZA 2003004468 A 20040624 ZA 2003-4468 20030609 <--
ZA 2003004469 A 20040624 ZA 2003-4469 20030609 <--
ZA 2003004470 A 20040624 ZA 2003-4470 20030609 <--
ZA 2003004471 A 20040624 ZA 2003-4471 20030609 <--
ZA 2003004473 A 20040624 ZA 2003-4473 20030609 <--
ZA 2003004475 A 20040624 ZA 2003-4475 20030609 <--
ZA 2003004472 A 20040625 ZA 2003-4472 20030609 <--
ZA 2003004474 A 20040625 ZA 2003-4474 20030609 <--
NO 2003002704 A 20030821 NO 2003-2704 20030613 <--
MX 2003005609 A 20031006 MX 2003-5609 20030620 <--
MX 2003005610 A 20031006 MX 2003-5610 20030620 <--
US 20040224944 A1 20041111 US 2003-624800 20030722 <--
US 7008948 B2 20060307
US 20040116454 A1 20040617 US 2003-692355 20031023 <--
US 7390815 B2 20080624
US 20040157893 A1 20040812 US 2003-722374 20031125 <--

HK 1057890	A1	20051216	HK 2003-108726		20031128 <--
US 20040132781	A1	20040708	US 2003-736426		20031215 <--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699		20040210 <--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883		20040315 <--
JP 2005097322	A	20050414	JP 2004-366925		20041217 <--
US 20070270444	A1	20071122	US 2006-369220		20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228		20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229		20060321 <--
AU 2006201229	B2	20081120			
AU 2006201230	A1	20060413	AU 2006-201230		20060321 <--
AU 2006201230	B2	20080911			
AU 2006201262	A1	20060427	AU 2006-201262		20060321 <--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263		20060321 <--
AU 2006201263	B2	20081030			
AU 2006201264	A1	20060427	AU 2006-201264		20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265		20060321 <--
AU 2006201265	B2	20080904			
US 20060258658	A1	20061116	US 2006-492450		20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723 <--
JP 2008115195	A	20080522	JP 2008-15681		20080125 <--
JP 2008189682	A	20080821	JP 2008-95581		20080401 <--
JP 2008260767	A	20081030	JP 2008-95584		20080401 <--
JP 2008222719	A	20080925	JP 2008-97620		20080403 <--
JP 2008189687	A	20080821	JP 2008-98506		20080404 <--
US 20080287444	A1	20081120	US 2008-109598		20080425
JP 2008201808	A	20080904	JP 2008-121723		20080507 <--
JP 2008247920	A	20081016	JP 2008-121724		20080507 <--
JP 2008247921	A	20081016	JP 2008-121727		20080507 <--
AU 2008252044	A1	20090122	AU 2008-252044		20081203 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915 <--
			US 2000-257887P	P	20001221 <--
			US 2001-286949P	P	20010427 <--
			AU 2001-296871	A3	20010914 <--
			AU 2001-296875	A3	20010914 <--
			AU 2001-90914	A	20010914 <--
			AU 2001-90944	A3	20010914 <--
			AU 2001-91013	A3	20010914 <--
			AU 2001-94558	A3	20010914 <--
			AU 2001-96871	T0	20010914 <--
			AU 2001-96875	T0	20010914 <--
			EP 2001-971082	A3	20010914 <--
			JP 2002-526860	A3	20010914 <--
			US 2001-952671	A3	20010914 <--
			US 2001-953471	A3	20010914 <--
			US 2001-955601	A3	20010914 <--
			WO 2001-US28803	W	20010914 <--
			AU 2002-234047	A3	20011219 <--
			AU 2002-34047	T0	20011219 <--
			EP 2001-273861	A	20011219 <--
			EP 2001-994323	A3	20011219 <--
			JP 2002-551561	A3	20011219 <--
			JP 2002-557938	A3	20011219 <--
			JP 2002-559413	A3	20011219 <--
			JP 2002-563142	A3	20011219 <--
			JP 2002-565976	A3	20011219 <--
			JP 2002-567928	A3	20011219 <--
			US 2001-26966	A1	20011219 <--
			WO 2001-US49139	W	20011219 <--
			WO 2001-US50312	W	20011219 <--

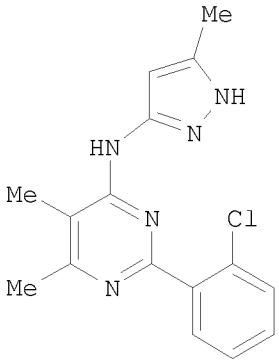
JP 2002-551562	A3	20011220 <--
JP 2002-559414	A3	20011220 <--
US 2001-34019	A3	20011220 <--
US 2001-34683	A1	20011220 <--
IN 2003-KN795	A3	20030619 <--
US 2003-624800	A3	20030722 <--
US 2004-775699	A1	20040210
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247582

GI



I



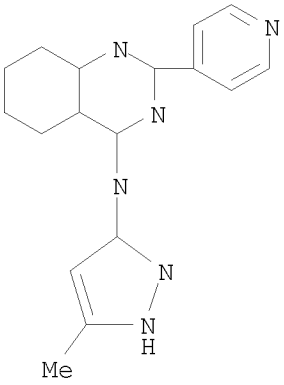
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P,

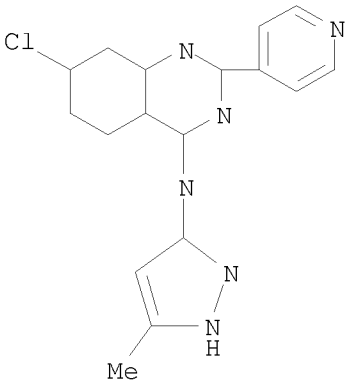
(2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P , (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



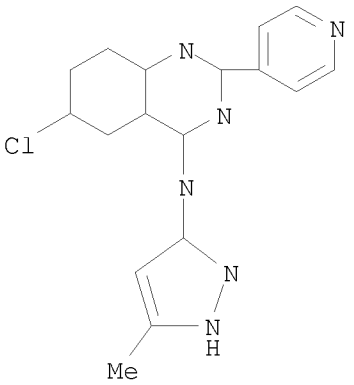
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

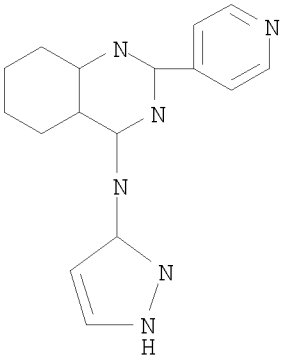


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

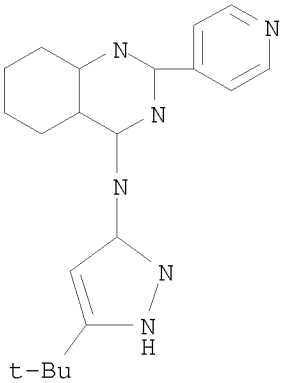
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220581 CAPLUS
DOCUMENT NUMBER: 136:247581
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422377	A1	20020321	CA 2001-2422377	20010914 <--
AU 2001092670	A	20020326	AU 2001-92670	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317449	A1	20030611	EP 2001-973050	20010914 <--
EP 1317449	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509115	T	20040325	JP 2002-526858	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
NZ 525014	A	20050930	NZ 2001-525014	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

AT	346064	T	20061215	AT	2001-975210	20010914 <--
ES	2266258	T3	20070301	ES	2001-970971	20010914 <--
ES	2266259	T3	20070301	ES	2001-971082	20010914 <--
AT	363284	T	20070615	AT	2001-977783	20010914 <--
NZ	545284	A	20070629	NZ	1984-5452	20010914 <--
CN	100355750	C	20071219	CN	2001-817427	20010914 <--
CA	2432303	A1	20020829	CA	2001-2432303	20011219 <--
AU	2002255452	A1	20020904	AU	2002-255452	20011219 <--
AU	2002255452	B2	20060608			
CA	2432223	A1	20020906	CA	2001-2432223	20011219 <--
CA	2432223	C	20080520			
AU	2001297619	A1	20020912	AU	2001-297619	20011219 <--
AU	2001297619	B2	20060608			
EP	1345922	A1	20030924	EP	2001-271061	20011219 <--
EP	1345922	B1	20060531			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP	1355905	A1	20031029	EP	2001-273861	20011219 <--
EP	1355905	B1	20070221			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NZ	526472	A	20040430	NZ	2001-526472	20011219 <--
JP	2004518743	T	20040624	JP	2002-565976	20011219 <--
JP	2004519479	T	20040702	JP	2002-567928	20011219 <--
JP	4234435	B2	20090304			
HU	2004000842	A2	20040728	HU	2004-842	20011219 <--
NZ	526473	A	20050624	NZ	2001-526473	20011219 <--
EP	1702920	A1	20060920	EP	2006-11799	20011219 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

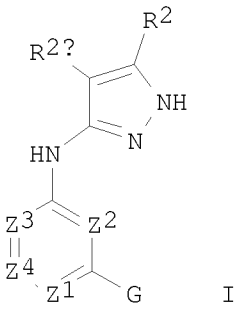
ZA	2003001697	A	20040301	ZA	2003-1697	20030228 <--
ZA	2003001699	A	20040301	ZA	2003-1699	20030228 <--
ZA	2003001700	A	20040301	ZA	2003-1700	20030228 <--
ZA	2003001702	A	20040301	ZA	2003-1702	20030228 <--
ZA	2003001704	A	20040301	ZA	2003-1704	20030228 <--
ZA	2003001698	A	20040302	ZA	2003-1698	20030228 <--
MX	2003002289	A	20030606	MX	2003-2289	20030317 <--
ZA	2003004468	A	20040624	ZA	2003-4468	20030609 <--
ZA	2003004469	A	20040624	ZA	2003-4469	20030609 <--
ZA	2003004470	A	20040624	ZA	2003-4470	20030609 <--
ZA	2003004471	A	20040624	ZA	2003-4471	20030609 <--
ZA	2003004473	A	20040624	ZA	2003-4473	20030609 <--
ZA	2003004475	A	20040624	ZA	2003-4475	20030609 <--
ZA	2003004472	A	20040625	ZA	2003-4472	20030609 <--
ZA	2003004474	A	20040625	ZA	2003-4474	20030609 <--
NO	2003002704	A	20030821	NO	2003-2704	20030613 <--
MX	2003005609	A	20031006	MX	2003-5609	20030620 <--
MX	2003005610	A	20031006	MX	2003-5610	20030620 <--
US	20040224944	A1	20041111	US	2003-624800	20030722 <--
US	7008948	B2	20060307			
US	20040116454	A1	20040617	US	2003-692355	20031023 <--
US	7390815	B2	20080624			
HK	1057887	A1	20061215	HK	2003-108524	20031121 <--
US	20040157893	A1	20040812	US	2003-722374	20031125 <--
US	20040132781	A1	20040708	US	2003-736426	20031215 <--
US	7087603	B2	20060808			
US	20040167141	A1	20040826	US	2004-775699	20040210 <--
US	7427681	B2	20080923			
HK	1060347	A1	20061201	HK	2004-101883	20040315 <--
JP	2005097322	A	20050414	JP	2004-366925	20041217 <--

US 20070270444	A1	20071122	US 2006-369220		20060306	<--
AU 2006201228	A1	20060413	AU 2006-201228		20060321	<--
AU 2006201229	A1	20060413	AU 2006-201229		20060321	<--
AU 2006201229	B2	20081120				
AU 2006201230	A1	20060413	AU 2006-201230		20060321	<--
AU 2006201230	B2	20080911				
AU 2006201262	A1	20060427	AU 2006-201262		20060321	<--
AU 2006201262	B2	20080904				
AU 2006201263	A1	20060427	AU 2006-201263		20060321	<--
AU 2006201263	B2	20081030				
AU 2006201264	A1	20060427	AU 2006-201264		20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265		20060321	<--
AU 2006201265	B2	20080904				
US 20060258658	A1	20061116	US 2006-492450		20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008260767	A	20081030	JP 2008-95584		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US28793	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-551562	A3	20011220	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	<--
			US 2003-624800	A3	20030722	<--
			US 2004-775699	A1	20040210	

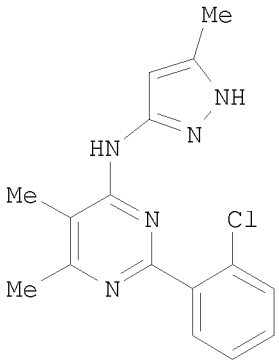
AU 2006-201396 A3 20060404

OTHER SOURCE(S): MARPAT 136:247581

GI



I



II

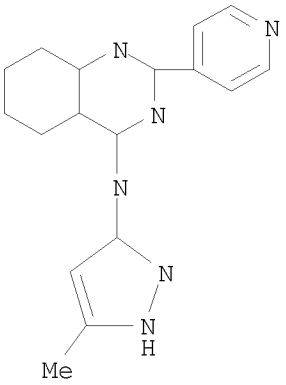
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-10-0 CAPLUS

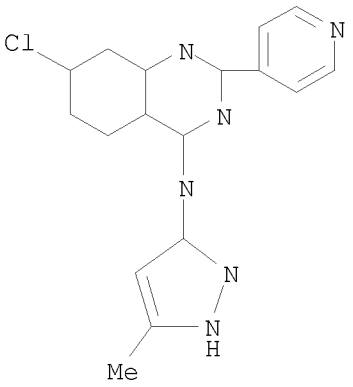
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS

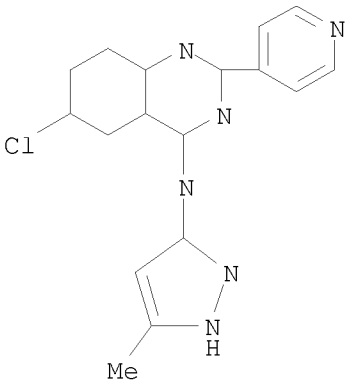
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



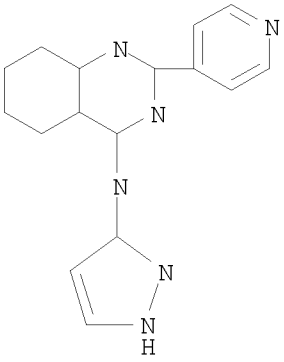
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-12-2 CAPLUS

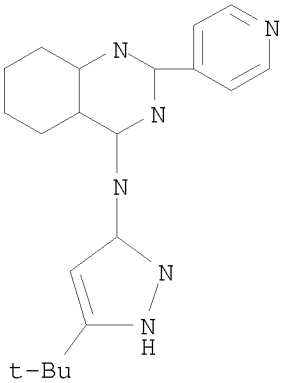
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220580 CAPLUS
DOCUMENT NUMBER: 136:247606
TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022604	A1	20020321	WO 2001-US28792	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422371	A1	20020321	CA 2001-2422371	20010914 <--
AU 2001094558	A	20020326	AU 2001-94558	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317450	A1	20030611	EP 2001-975210	20010914 <--
EP 1317450	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002172	A2	20030929	HU 2003-2172	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004512277	T	20040422	JP 2002-526857	20010914 <--
JP 4105948	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
NZ 525009	A	20050527	NZ 2001-525009	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--

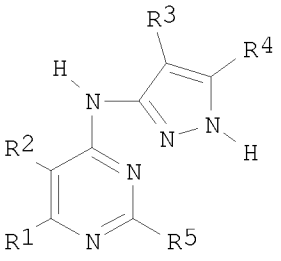
```
AT 327992            T     20060615    AT 2001-971082         20010914 <--
AT 327991            T     20060615    AT 2001-973050         20010914 <--
AT 326459            T     20060615    AT 2001-977779         20010914 <--
EP 1698627           A1    20060906    EP 2006-10798          20010914 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AT 346064            T     20061215    AT 2001-975210         20010914 <--
ES 2266258           T3    20070301    ES 2001-970971         20010914 <--
ES 2266259           T3    20070301    ES 2001-971082         20010914 <--
AT 363284            T     20070615    AT 2001-977783         20010914 <--
NZ 545284            A     20070629    NZ 1984-5452           20010914 <--
CN 100355750         C     20071219    CN 2001-817427         20010914 <--
CA 2432303           A1    20020829    CA 2001-2432303        20011219 <--
AU 2002255452        A1    20020904    AU 2002-255452         20011219 <--
AU 2002255452        B2    20060608
CA 2432223           A1    20020906    CA 2001-2432223        20011219 <--
CA 2432223           C     20080520
AU 2001297619        A1    20020912    AU 2001-297619         20011219 <--
AU 2001297619        B2    20060608
EP 1345922           A1    20030924    EP 2001-271061         20011219 <--
EP 1345922           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905           A1    20031029    EP 2001-273861         20011219 <--
EP 1355905           B1    20070221
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472            A     20040430    NZ 2001-526472         20011219 <--
JP 2004518743        T     20040624    JP 2002-565976         20011219 <--
JP 2004519479        T     20040702    JP 2002-567928         20011219 <--
JP 4234435           B2    20090304
HU 2004000842        A2    20040728    HU 2004-842            20011219 <--
NZ 526473            A     20050624    NZ 2001-526473         20011219 <--
EP 1702920           A1    20060920    EP 2006-11799          20011219 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697        A     20040301    ZA 2003-1697           20030228 <--
ZA 2003001699        A     20040301    ZA 2003-1699           20030228 <--
ZA 2003001700        A     20040301    ZA 2003-1700           20030228 <--
ZA 2003001702        A     20040301    ZA 2003-1702           20030228 <--
ZA 2003001704        A     20040301    ZA 2003-1704           20030228 <--
ZA 2003001698        A     20040302    ZA 2003-1698           20030228 <--
IN 2003KN00289       A     20050311    IN 2003-KN289          20030307 <--
NO 2003001190        A     20030513    NO 2003-1190           20030314 <--
MX 2003002292        A     20030606    MX 2003-2292           20030317 <--
ZA 2003004468        A     20040624    ZA 2003-4468           20030609 <--
ZA 2003004469        A     20040624    ZA 2003-4469           20030609 <--
ZA 2003004470        A     20040624    ZA 2003-4470           20030609 <--
ZA 2003004471        A     20040624    ZA 2003-4471           20030609 <--
ZA 2003004473        A     20040624    ZA 2003-4473           20030609 <--
ZA 2003004475        A     20040624    ZA 2003-4475           20030609 <--
ZA 2003004472        A     20040625    ZA 2003-4472           20030609 <--
ZA 2003004474        A     20040625    ZA 2003-4474           20030609 <--
NO 2003002704        A     20030821    NO 2003-2704           20030613 <--
MX 2003005609        A     20031006    MX 2003-5609           20030620 <--
MX 2003005610        A     20031006    MX 2003-5610           20030620 <--
US 20040224944       A1    20041111    US 2003-624800         20030722 <--
US 7008948           B2    20060307
US 20040116454       A1    20040617    US 2003-692355         20031023 <--
US 7390815           B2    20080624
US 20040157893       A1    20040812    US 2003-722374         20031125 <--
HK 1057748           A1    20070615    HK 2003-108588         20031125 <--
```

US	20040132781	A1	20040708	US 2003-736426		20031215 <--
US	7087603	B2	20060808			
US	20040167141	A1	20040826	US 2004-775699		20040210 <--
US	7427681	B2	20080923			
HK	1060347	A1	20061201	HK 2004-101883		20040315 <--
JP	2005097322	A	20050414	JP 2004-366925		20041217 <--
US	20070270444	A1	20071122	US 2006-369220		20060306 <--
AU	2006201228	A1	20060413	AU 2006-201228		20060321 <--
AU	2006201229	A1	20060413	AU 2006-201229		20060321 <--
AU	2006201229	B2	20081120			
AU	2006201230	A1	20060413	AU 2006-201230		20060321 <--
AU	2006201230	B2	20080911			
AU	2006201262	A1	20060427	AU 2006-201262		20060321 <--
AU	2006201262	B2	20080904			
AU	2006201263	A1	20060427	AU 2006-201263		20060321 <--
AU	2006201263	B2	20081030			
AU	2006201264	A1	20060427	AU 2006-201264		20060321 <--
AU	2006201265	A1	20060427	AU 2006-201265		20060321 <--
AU	2006201265	B2	20080904			
US	20060258658	A1	20061116	US 2006-492450		20060725 <--
IN	2007KN02703	A	20080801	IN 2007-KN2703		20070723 <--
JP	2008115195	A	20080522	JP 2008-15681		20080125 <--
JP	2008189682	A	20080821	JP 2008-95581		20080401 <--
JP	2008260767	A	20081030	JP 2008-95584		20080401 <--
JP	2008222719	A	20080925	JP 2008-97620		20080403 <--
JP	2008189687	A	20080821	JP 2008-98506		20080404 <--
US	20080287444	A1	20081120	US 2008-109598		20080425
JP	2008201808	A	20080904	JP 2008-121723		20080507 <--
JP	2008247920	A	20081016	JP 2008-121724		20080507 <--
JP	2008247921	A	20081016	JP 2008-121727		20080507 <--
AU	2008252044	A1	20090122	AU 2008-252044		20081203 <--
PRIORITY APPLN. INFO.:				US 2000-232795P	P	20000915 <--
				US 2000-257887P	P	20001221 <--
				US 2001-286949P	P	20010427 <--
				AU 2001-296871	A3	20010914 <--
				AU 2001-296875	A3	20010914 <--
				AU 2001-90914	A	20010914 <--
				AU 2001-90944	A3	20010914 <--
				AU 2001-91013	A3	20010914 <--
				AU 2001-94558	A3	20010914 <--
				AU 2001-96871	T0	20010914 <--
				AU 2001-96875	T0	20010914 <--
				EP 2001-971082	A3	20010914 <--
				JP 2002-526860	A3	20010914 <--
				US 2001-952671	A3	20010914 <--
				US 2001-953471	A3	20010914 <--
				US 2001-955601	A3	20010914 <--
				WO 2001-US28792	W	20010914 <--
				AU 2002-234047	A3	20011219 <--
				AU 2002-34047	T0	20011219 <--
				EP 2001-273861	A	20011219 <--
				EP 2001-994323	A3	20011219 <--
				JP 2002-551561	A3	20011219 <--
				JP 2002-557938	A3	20011219 <--
				JP 2002-559413	A3	20011219 <--
				JP 2002-563142	A3	20011219 <--
				JP 2002-565976	A3	20011219 <--
				JP 2002-567928	A3	20011219 <--
				US 2001-26966	A1	20011219 <--
				WO 2001-US49139	W	20011219 <--
				WO 2001-US50312	W	20011219 <--
				JP 2002-551562	A3	20011220 <--

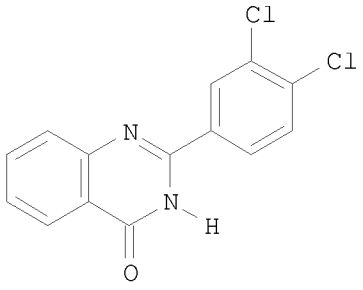
JP 2002-559414	A3	20011220 <--
US 2001-34019	A3	20011220 <--
US 2001-34683	A1	20011220 <--
IN 2003-KN795	A3	20030619 <--
US 2003-624800	A3	20030722 <--
US 2004-775699	A1	20040210
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247606

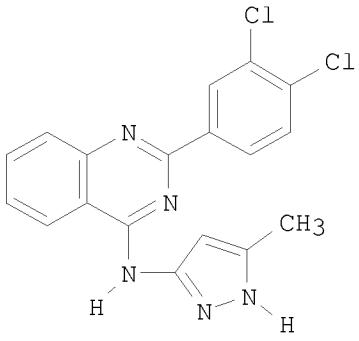
GI



I



II



III

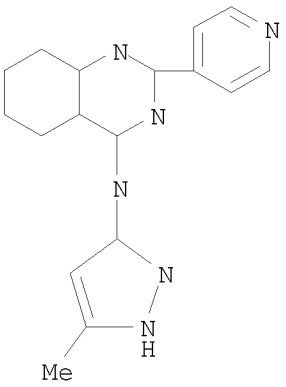
AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT 404828-10-0P 404828-11-1P 404828-12-2P
404828-45-1P 404828-50-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404828-10-0 CAPLUS

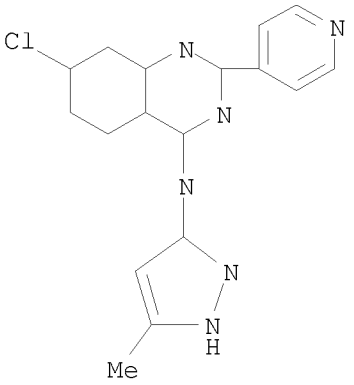
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS

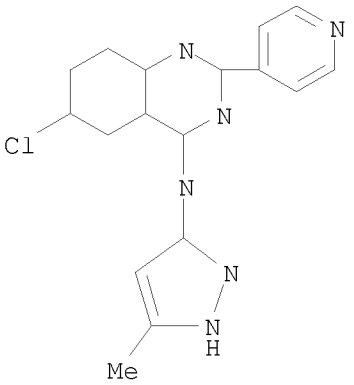
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



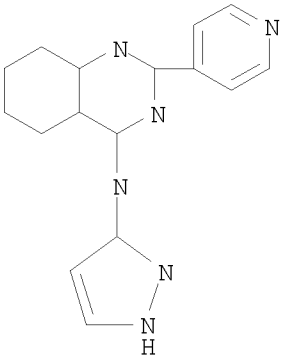
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-12-2 CAPLUS

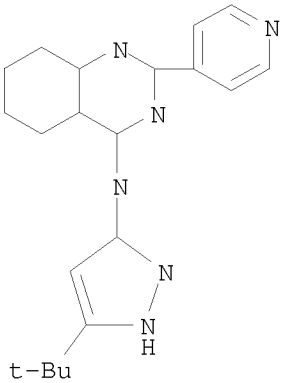
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220579 CAPLUS
DOCUMENT NUMBER: 136:247580
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 406 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

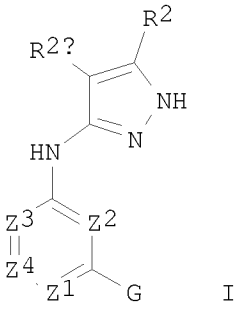
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422367	A1	20020321	CA 2001-2422367	20010914 <--
AU 2001090912	A	20020326	AU 2001-90912	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317447	A1	20030611	EP 2001-970969	20010914 <--
EP 1317447	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
JP 2004525075	T	20040819	JP 2002-526856	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

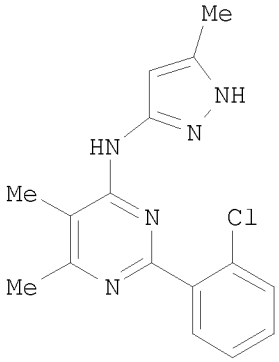
AT 346064	T	20061215	AT 2001-975210	20010914	<--
ES 2266258	T3	20070301	ES 2001-970971	20010914	<--
ES 2266259	T3	20070301	ES 2001-971082	20010914	<--
AT 363284	T	20070615	AT 2001-977783	20010914	<--
NZ 545284	A	20070629	NZ 1984-5452	20010914	<--
CN 100355750	C	20071219	CN 2001-817427	20010914	<--
CA 2432303	A1	20020829	CA 2001-2432303	20011219	<--
AU 2002255452	A1	20020904	AU 2002-255452	20011219	<--
AU 2002255452	B2	20060608			
CA 2432223	A1	20020906	CA 2001-2432223	20011219	<--
CA 2432223	C	20080520			
AU 2001297619	A1	20020912	AU 2001-297619	20011219	<--
AU 2001297619	B2	20060608			
EP 1345922	A1	20030924	EP 2001-271061	20011219	<--
EP 1345922	B1	20060531			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EP 1355905	A1	20031029	EP 2001-273861	20011219	<--
EP 1355905	B1	20070221			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NZ 526472	A	20040430	NZ 2001-526472	20011219	<--
JP 2004518743	T	20040624	JP 2002-565976	20011219	<--
JP 2004519479	T	20040702	JP 2002-567928	20011219	<--
JP 4234435	B2	20090304			
HU 2004000842	A2	20040728	HU 2004-842	20011219	<--
NZ 526473	A	20050624	NZ 2001-526473	20011219	<--
EP 1702920	A1	20060920	EP 2006-11799	20011219	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
ZA 2003001697	A	20040301	ZA 2003-1697	20030228	<--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228	<--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228	<--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228	<--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228	<--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228	<--
MX 2003002295	A	20030606	MX 2003-2295	20030317	<--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609	<--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609	<--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609	<--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609	<--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609	<--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609	<--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609	<--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609	<--
NO 2003002704	A	20030821	NO 2003-2704	20030613	<--
MX 2003005609	A	20031006	MX 2003-5609	20030620	<--
MX 2003005610	A	20031006	MX 2003-5610	20030620	<--
US 20040224944	A1	20041111	US 2003-624800	20030722	<--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355	20031023	<--
US 7390815	B2	20080624			
HK 1057747	A1	20061201	HK 2003-108474	20031120	<--
US 20040157893	A1	20040812	US 2003-722374	20031125	<--
US 20040132781	A1	20040708	US 2003-736426	20031215	<--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699	20040210	<--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883	20040315	<--
JP 2005097322	A	20050414	JP 2004-366925	20041217	<--
US 20070270444	A1	20071122	US 2006-369220	20060306	<--

AU	2006201228	A1	20060413	AU 2006-201228		20060321 <--
AU	2006201229	A1	20060413	AU 2006-201229		20060321 <--
AU	2006201229	B2	20081120			
AU	2006201230	A1	20060413	AU 2006-201230		20060321 <--
AU	2006201230	B2	20080911			
AU	2006201262	A1	20060427	AU 2006-201262		20060321 <--
AU	2006201262	B2	20080904			
AU	2006201263	A1	20060427	AU 2006-201263		20060321 <--
AU	2006201263	B2	20081030			
AU	2006201264	A1	20060427	AU 2006-201264		20060321 <--
AU	2006201265	A1	20060427	AU 2006-201265		20060321 <--
AU	2006201265	B2	20080904			
AU	2006201391	A1	20060427	AU 2006-201391		20060404 <--
AU	2006201391	B2	20081113			
US	20060258658	A1	20061116	US 2006-492450		20060725 <--
IN	2007KN02703	A	20080801	IN 2007-KN2703		20070723 <--
JP	2008115195	A	20080522	JP 2008-15681		20080125 <--
JP	2008189682	A	20080821	JP 2008-95581		20080401 <--
JP	2008260767	A	20081030	JP 2008-95584		20080401 <--
JP	2008222719	A	20080925	JP 2008-97620		20080403 <--
JP	2008189687	A	20080821	JP 2008-98506		20080404 <--
US	20080287444	A1	20081120	US 2008-109598		20080425
JP	2008201808	A	20080904	JP 2008-121723		20080507 <--
JP	2008247920	A	20081016	JP 2008-121724		20080507 <--
JP	2008247921	A	20081016	JP 2008-121727		20080507 <--
AU	2008252044	A1	20090122	AU 2008-252044		20081203 <--
PRIORITY APPLN. INFO.:				US 2000-232795P	P	20000915 <--
				US 2000-257887P	P	20001221 <--
				US 2001-286949P	P	20010427 <--
				AU 2001-296871	A3	20010914 <--
				AU 2001-296875	A3	20010914 <--
				AU 2001-90912	A3	20010914 <--
				AU 2001-90914	A	20010914 <--
				AU 2001-90944	A3	20010914 <--
				AU 2001-91013	A3	20010914 <--
				AU 2001-94558	A3	20010914 <--
				AU 2001-96871	T0	20010914 <--
				AU 2001-96875	T0	20010914 <--
				EP 2001-971082	A3	20010914 <--
				JP 2002-526860	A3	20010914 <--
				US 2001-952671	A3	20010914 <--
				US 2001-953471	A3	20010914 <--
				US 2001-955601	A3	20010914 <--
				WO 2001-US28738	W	20010914 <--
				AU 2002-234047	A3	20011219 <--
				AU 2002-34047	T0	20011219 <--
				EP 2001-273861	A	20011219 <--
				EP 2001-994323	A3	20011219 <--
				JP 2002-551561	A3	20011219 <--
				JP 2002-557938	A3	20011219 <--
				JP 2002-559413	A3	20011219 <--
				JP 2002-563142	A3	20011219 <--
				JP 2002-565976	A3	20011219 <--
				JP 2002-567928	A3	20011219 <--
				US 2001-26966	A1	20011219 <--
				WO 2001-US49139	W	20011219 <--
				WO 2001-US50312	W	20011219 <--
				JP 2002-551562	A3	20011220 <--
				JP 2002-559414	A3	20011220 <--
				US 2001-34019	A3	20011220 <--
				US 2001-34683	A1	20011220 <--
				IN 2003-KN795	A3	20030619 <--

US 2003-624800 A3 20030722 <--
US 2004-775699 A1 20040210
AU 2006-201396 A3 20060404
OTHER SOURCE(S): MARPAT 136:247580
GI



I



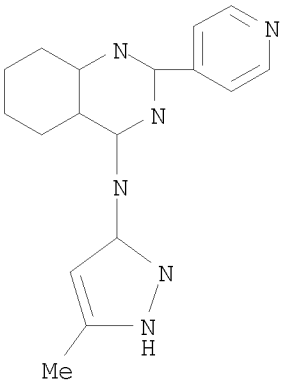
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

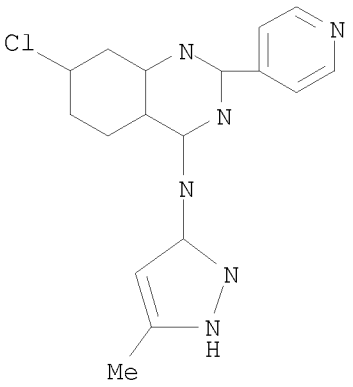
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



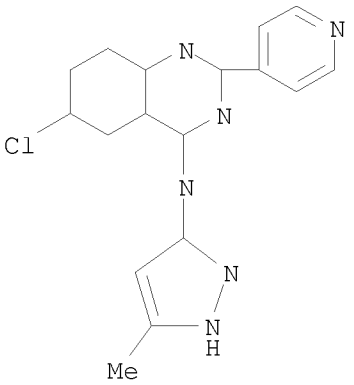
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

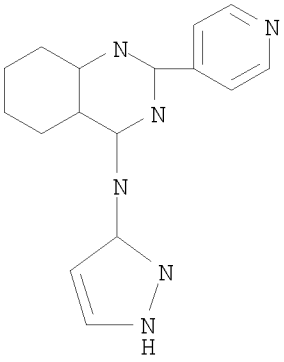


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

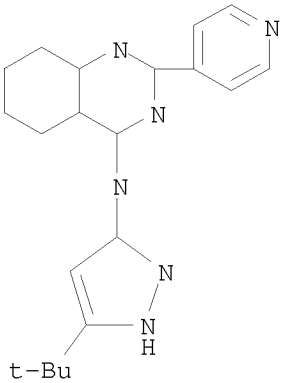
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220578 CAPLUS
DOCUMENT NUMBER: 136:263164
TITLE: Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Bebbington, David; Knegtel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

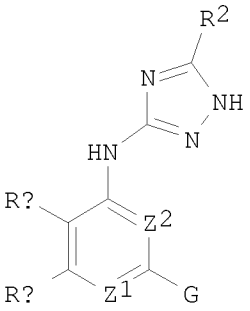
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914 <--
WO 2002022602	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422299	A1	20020321	CA 2001-2422299	20010914 <--
AU 2001096875	A	20020326	AU 2001-96875	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1318814	A2	20030618	EP 2001-977783	20010914 <--
EP 1318814	B1	20070530		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509114	T	20040325	JP 2002-526855	20010914 <--
JP 4105947	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--

EP 1698627 A1 20060906 EP 2006-10798 20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AT 346064 T 20061215 AT 2001-975210 20010914 <--
ES 2266258 T3 20070301 ES 2001-970971 20010914 <--
ES 2266259 T3 20070301 ES 2001-971082 20010914 <--
AT 363284 T 20070615 AT 2001-977783 20010914 <--
NZ 545284 A 20070629 NZ 1984-5452 20010914 <--
CN 100355750 C 20071219 CN 2001-817427 20010914 <--
CA 2432303 A1 20020829 CA 2001-2432303 20011219 <--
AU 2002255452 A1 20020904 AU 2002-255452 20011219 <--
AU 2002255452 B2 20060608
CA 2432223 A1 20020906 CA 2001-2432223 20011219 <--
CA 2432223 C 20080520
AU 2001297619 A1 20020912 AU 2001-297619 20011219 <--
AU 2001297619 B2 20060608
EP 1345922 A1 20030924 EP 2001-271061 20011219 <--
EP 1345922 B1 20060531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219 <--
EP 1355905 B1 20070221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472 A 20040430 NZ 2001-526472 20011219 <--
JP 2004518743 T 20040624 JP 2002-565976 20011219 <--
JP 2004519479 T 20040702 JP 2002-567928 20011219 <--
JP 4234435 B2 20090304
HU 2004000842 A2 20040728 HU 2004-842 20011219 <--
NZ 526473 A 20050624 NZ 2001-526473 20011219 <--
EP 1702920 A1 20060920 EP 2006-11799 20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697 A 20040301 ZA 2003-1697 20030228 <--
ZA 2003001699 A 20040301 ZA 2003-1699 20030228 <--
ZA 2003001700 A 20040301 ZA 2003-1700 20030228 <--
ZA 2003001702 A 20040301 ZA 2003-1702 20030228 <--
ZA 2003001704 A 20040301 ZA 2003-1704 20030228 <--
ZA 2003001698 A 20040302 ZA 2003-1698 20030228 <--
MX 2003002297 A 20030606 MX 2003-2297 20030317 <--
ZA 2003004468 A 20040624 ZA 2003-4468 20030609 <--
ZA 2003004469 A 20040624 ZA 2003-4469 20030609 <--
ZA 2003004470 A 20040624 ZA 2003-4470 20030609 <--
ZA 2003004471 A 20040624 ZA 2003-4471 20030609 <--
ZA 2003004473 A 20040624 ZA 2003-4473 20030609 <--
ZA 2003004475 A 20040624 ZA 2003-4475 20030609 <--
ZA 2003004472 A 20040625 ZA 2003-4472 20030609 <--
ZA 2003004474 A 20040625 ZA 2003-4474 20030609 <--
NO 2003002704 A 20030821 NO 2003-2704 20030613 <--
MX 2003005609 A 20031006 MX 2003-5609 20030620 <--
MX 2003005610 A 20031006 MX 2003-5610 20030620 <--
US 20040224944 A1 20041111 US 2003-624800 20030722 <--
US 7008948 B2 20060307
US 20040116454 A1 20040617 US 2003-692355 20031023 <--
US 7390815 B2 20080624
US 20040157893 A1 20040812 US 2003-722374 20031125 <--
HK 1057702 A1 20071102 HK 2003-108682 20031127 <--
US 20040132781 A1 20040708 US 2003-736426 20031215 <--
US 7087603 B2 20060808
US 20040167141 A1 20040826 US 2004-775699 20040210 <--
US 7427681 B2 20080923
HK 1060347 A1 20061201 HK 2004-101883 20040315 <--

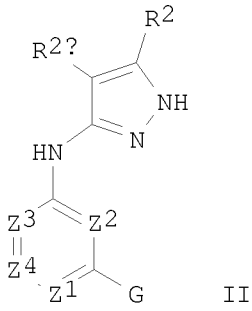
JP 2005097322	A	20050414	JP 2004-366925		20041217	<--
US 20070270444	A1	20071122	US 2006-369220		20060306	<--
AU 2006201228	A1	20060413	AU 2006-201228		20060321	<--
AU 2006201229	A1	20060413	AU 2006-201229		20060321	<--
AU 2006201229	B2	20081120				
AU 2006201230	A1	20060413	AU 2006-201230		20060321	<--
AU 2006201230	B2	20080911				
AU 2006201262	A1	20060427	AU 2006-201262		20060321	<--
AU 2006201262	B2	20080904				
AU 2006201263	A1	20060427	AU 2006-201263		20060321	<--
AU 2006201263	B2	20081030				
AU 2006201264	A1	20060427	AU 2006-201264		20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265		20060321	<--
AU 2006201265	B2	20080904				
US 20060258658	A1	20061116	US 2006-492450		20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008260767	A	20081030	JP 2008-95584		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
US 20080287444	A1	20081120	US 2008-109598		20080425	
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
JP 2008247920	A	20081016	JP 2008-121724		20080507	<--
JP 2008247921	A	20081016	JP 2008-121727		20080507	<--
AU 2008252044	A1	20090122	AU 2008-252044		20081203	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-296875	A3	20010914	<--
			AU 2001-90914	A	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			AU 2001-96875	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US42162	W	20010914	<--
			AU 2002-234047	A3	20011219	<--
			AU 2002-34047	T0	20011219	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-551561	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-565976	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-551562	A3	20011220	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	<--
			US 2003-624800	A3	20030722	<--

US 2004-775699 A1 20040210
AU 2006-201396 A3 20060404
OTHER SOURCE(S): MARPAT 136:263164

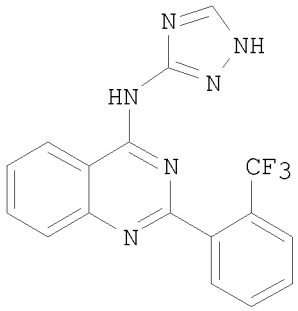
GI



I



II

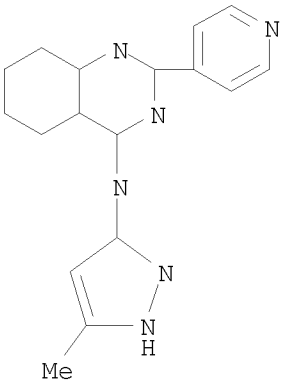


III

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 1.0-20 μM for Aurora-2.

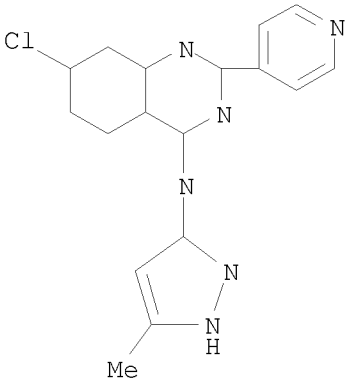
IT 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404891-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



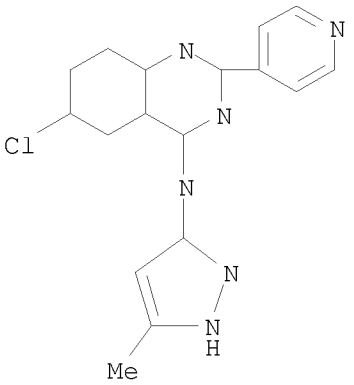
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

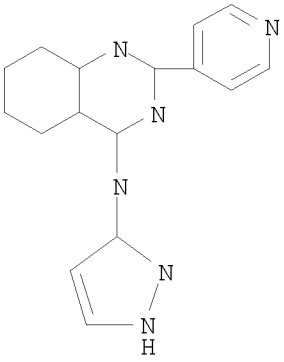


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

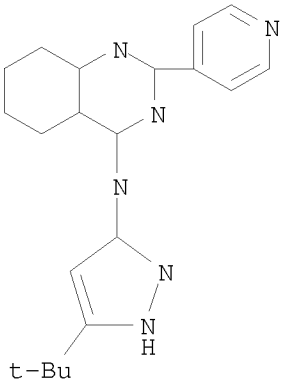
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)

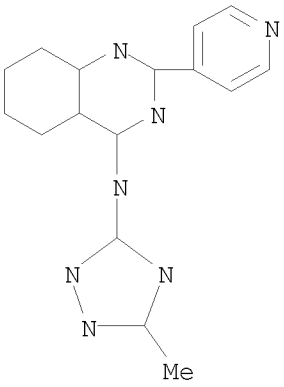


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404891-18-5 CAPLUS
CN 4-Quinazolinamine, N-(3-methyl-1H-1,2,4-triazol-5-yl)-2-(4-pyridinyl)-

(CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220577 CAPLUS
DOCUMENT NUMBER: 136:247579
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 376 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422354	A1	20020321	CA 2001-2422354	20010914 <--
AU 2001090914	A	20020326	AU 2001-90914	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 7473691	B2	20090106		
US 20030073687	A1	20030417	US 2001-952671	20010914 <--

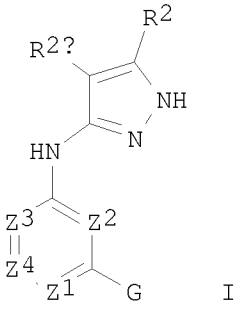
```
US 6660731           B2    20031209
US 20030078166       A1    20030424    US 2001-955601         20010914 <--
US 6696452           B2    20040224
US 20030083327       A1    20030501    US 2001-952833         20010914 <--
US 6610677           B2    20030826
EP 1317444           A1    20030611    EP 2001-970971         20010914 <--
EP 1317444           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001701        A     20040301    ZA 2003-1701           20010914 <--
ZA 2003001703        A     20040302    ZA 2003-1703           20010914 <--
JP 2004509113        T     20040325    JP 2002-526854         20010914 <--
JP 4111824           B2    20080702
US 20040097501       A1    20040520    US 2001-953471         20010914 <--
US 7115739           B2    20061003
US 20050004110       A1    20050106    US 2001-952878         20010914 <--
US 7098330           B2    20060829
ES 2242771           T3    20051116    ES 2001-971006         20010914 <--
AT 326458            T     20060615    AT 2001-970969         20010914 <--
AT 327990            T     20060615    AT 2001-970971         20010914 <--
AT 327992            T     20060615    AT 2001-971082         20010914 <--
AT 327991            T     20060615    AT 2001-973050         20010914 <--
AT 326459            T     20060615    AT 2001-977779         20010914 <--
EP 1698627           A1    20060906    EP 2006-10798          20010914 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AT 346064            T     20061215    AT 2001-975210         20010914 <--
ES 2266258           T3    20070301    ES 2001-970971         20010914 <--
ES 2266259           T3    20070301    ES 2001-971082         20010914 <--
AT 363284            T     20070615    AT 2001-977783         20010914 <--
NZ 545284            A     20070629    NZ 1984-5452           20010914 <--
CN 100355750         C     20071219    CN 2001-817427         20010914 <--
CA 2432303           A1    20020829    CA 2001-2432303        20011219 <--
AU 2002255452        A1    20020904    AU 2002-255452         20011219 <--
AU 2002255452        B2    20060608
CA 2432223           A1    20020906    CA 2001-2432223        20011219 <--
CA 2432223           C     20080520
AU 2001297619        A1    20020912    AU 2001-297619         20011219 <--
AU 2001297619        B2    20060608
EP 1345922           A1    20030924    EP 2001-271061         20011219 <--
EP 1345922           B1    20060531
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905           A1    20031029    EP 2001-273861         20011219 <--
EP 1355905           B1    20070221
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NZ 526472            A     20040430    NZ 2001-526472         20011219 <--
JP 2004518743        T     20040624    JP 2002-565976         20011219 <--
JP 2004519479        T     20040702    JP 2002-567928         20011219 <--
JP 4234435           B2    20090304
HU 2004000842        A2    20040728    HU 2004-842            20011219 <--
NZ 526473            A     20050624    NZ 2001-526473         20011219 <--
EP 1702920           A1    20060920    EP 2006-11799          20011219 <--
    R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
ZA 2003001697        A     20040301    ZA 2003-1697           20030228 <--
ZA 2003001699        A     20040301    ZA 2003-1699           20030228 <--
ZA 2003001700        A     20040301    ZA 2003-1700           20030228 <--
ZA 2003001702        A     20040301    ZA 2003-1702           20030228 <--
ZA 2003001704        A     20040301    ZA 2003-1704           20030228 <--
ZA 2003001698        A     20040302    ZA 2003-1698           20030228 <--
```

MX	2003002294	A	20050908	MX	2003-2294	20030317 <--
ZA	2003004468	A	20040624	ZA	2003-4468	20030609 <--
ZA	2003004469	A	20040624	ZA	2003-4469	20030609 <--
ZA	2003004470	A	20040624	ZA	2003-4470	20030609 <--
ZA	2003004471	A	20040624	ZA	2003-4471	20030609 <--
ZA	2003004473	A	20040624	ZA	2003-4473	20030609 <--
ZA	2003004475	A	20040624	ZA	2003-4475	20030609 <--
ZA	2003004472	A	20040625	ZA	2003-4472	20030609 <--
ZA	2003004474	A	20040625	ZA	2003-4474	20030609 <--
NO	2003002704	A	20030821	NO	2003-2704	20030613 <--
MX	2003005609	A	20031006	MX	2003-5609	20030620 <--
MX	2003005610	A	20031006	MX	2003-5610	20030620 <--
US	20040224944	A1	20041111	US	2003-624800	20030722 <--
US	7008948	B2	20060307			
US	20040116454	A1	20040617	US	2003-692355	20031023 <--
US	7390815	B2	20080624			
HK	1057543	A1	20061215	HK	2003-108309	20031114 <--
US	20040157893	A1	20040812	US	2003-722374	20031125 <--
US	20040132781	A1	20040708	US	2003-736426	20031215 <--
US	7087603	B2	20060808			
US	20040167141	A1	20040826	US	2004-775699	20040210 <--
US	7427681	B2	20080923			
HK	1060347	A1	20061201	HK	2004-101883	20040315 <--
JP	2005097322	A	20050414	JP	2004-366925	20041217 <--
US	20070270444	A1	20071122	US	2006-369220	20060306 <--
AU	2006201228	A1	20060413	AU	2006-201228	20060321 <--
AU	2006201229	A1	20060413	AU	2006-201229	20060321 <--
AU	2006201229	B2	20081120			
AU	2006201230	A1	20060413	AU	2006-201230	20060321 <--
AU	2006201230	B2	20080911			
AU	2006201262	A1	20060427	AU	2006-201262	20060321 <--
AU	2006201262	B2	20080904			
AU	2006201263	A1	20060427	AU	2006-201263	20060321 <--
AU	2006201263	B2	20081030			
AU	2006201264	A1	20060427	AU	2006-201264	20060321 <--
AU	2006201265	A1	20060427	AU	2006-201265	20060321 <--
AU	2006201265	B2	20080904			
AU	2006201396	A1	20060504	AU	2006-201396	20060404 <--
AU	2006201396	B2	20081002			
US	20060258658	A1	20061116	US	2006-492450	20060725 <--
IN	2007KN02703	A	20080801	IN	2007-KN2703	20070723 <--
JP	2008115195	A	20080522	JP	2008-15681	20080125 <--
JP	2008189682	A	20080821	JP	2008-95581	20080401 <--
JP	2008260767	A	20081030	JP	2008-95584	20080401 <--
JP	2008222719	A	20080925	JP	2008-97620	20080403 <--
JP	2008189687	A	20080821	JP	2008-98506	20080404 <--
US	20080287444	A1	20081120	US	2008-109598	20080425
JP	2008201808	A	20080904	JP	2008-121723	20080507 <--
JP	2008247920	A	20081016	JP	2008-121724	20080507 <--
JP	2008247921	A	20081016	JP	2008-121727	20080507 <--
AU	2008252044	A1	20090122	AU	2008-252044	20081203 <--
PRIORITY APPLN. INFO.:				US	2000-232795P	P 20000915 <--
				US	2000-257887P	P 20001221 <--
				US	2001-286949P	P 20010427 <--
				AU	2001-296871	A3 20010914 <--
				AU	2001-296875	A3 20010914 <--
				AU	2001-90914	A3 20010914 <--
				AU	2001-90944	A3 20010914 <--
				AU	2001-91013	A3 20010914 <--
				AU	2001-94558	A3 20010914 <--
				AU	2001-96871	T0 20010914 <--
				AU	2001-96875	T0 20010914 <--

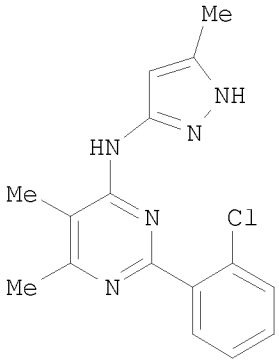
EP 2001-971082	A3	20010914 <--
JP 2002-526860	A3	20010914 <--
US 2001-952671	A3	20010914 <--
US 2001-953471	A3	20010914 <--
US 2001-955601	A3	20010914 <--
WO 2001-US28740	W	20010914 <--
AU 2002-234047	A3	20011219 <--
AU 2002-34047	T0	20011219 <--
EP 2001-273861	A	20011219 <--
EP 2001-994323	A3	20011219 <--
JP 2002-551561	A3	20011219 <--
JP 2002-557938	A3	20011219 <--
JP 2002-559413	A3	20011219 <--
JP 2002-563142	A3	20011219 <--
JP 2002-565976	A3	20011219 <--
JP 2002-567928	A3	20011219 <--
US 2001-26966	A1	20011219 <--
WO 2001-US49139	W	20011219 <--
WO 2001-US50312	W	20011219 <--
JP 2002-551562	A3	20011220 <--
JP 2002-559414	A3	20011220 <--
US 2001-34019	A3	20011220 <--
US 2001-34683	A1	20011220 <--
IN 2003-KN795	A3	20030619 <--
US 2003-624800	A3	20030722 <--
US 2004-775699	A1	20040210
AU 2006-201396	A3	20060404

OTHER SOURCE(S): MARPAT 136:247579

GI



I



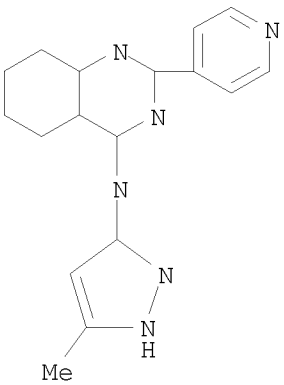
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR,

NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

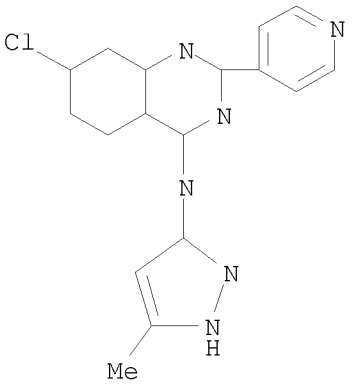
IT 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl)(5-methyl-2H-pyrazol-3-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl)(2-pyridin-4-ylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404828-10-0 CAPLUS
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)

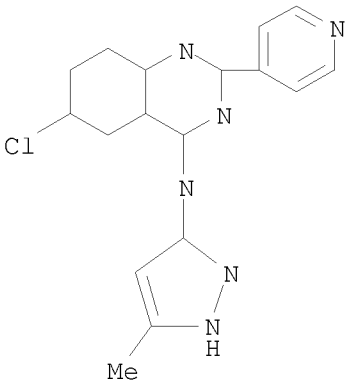


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

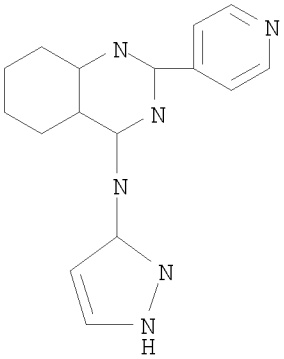
RN 404828-11-1 CAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-12-2 CAPLUS
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-
(CA INDEX NAME)

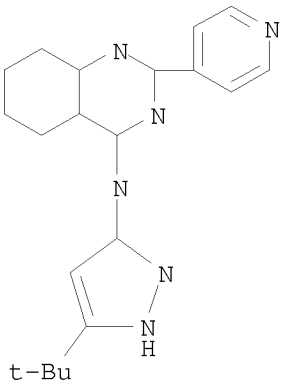


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-45-1 CAPLUS
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404828-50-8 CAPLUS
CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-

pyridinyl)- (CA INDEX NAME)

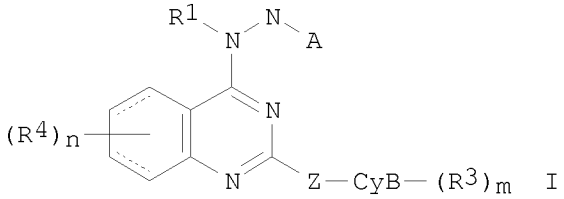


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

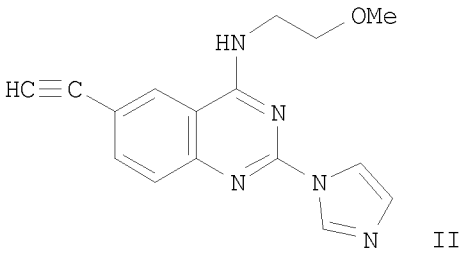
L10 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:158388 CAPLUS
DOCUMENT NUMBER: 136:200203
TITLE: Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont. of U.S. Ser. No. 60,444, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020025968	A1	20020228	US 2001-952769	20010914 <--
PRIORITY APPLN. INFO.:			US 1998-60444 B1	19980415 <--

OTHER SOURCE(S): MARPAT 136:200203
GI



I



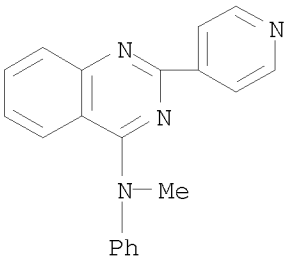
II

AB Title compds. I [wherein R1 = H or alkyl; Y = alkylene; A = ORa or S(O)pRa; Ra = alkylhydroxy; p = 0-2; Z = single bond, methylene, ethylene, vinylene, or ethynylene; CyB = heterocyclic ring; R3 = H, alkyl, alkoxy, halo, or CF3; R4 = H, alkyl, alkoxy, CO2H, carboxy ester, alkanoylamino, alkylsulfonylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, ethynyl, hydroxymethyl, acetyl, or (un)substituted sulfamoyl, carbamoyl, etc.; m and n = independently 1-2; or pharmaceutically acceptable salts or hydrates thereof] were prepared for inhibiting neoplastic cells and related conditions. For example, amination of 2,4-dichloro-6-(2-triethylsilylethynyl)quinazolin-2,4-dione (preparation given) with 2-methoxyethylamine in CHCl3, followed by addition of imidazole in EtOH and deprotection using NBu4F, afforded II. I are useful in the treatment of precancerous and cancerous lesions, including malignant melanomas, breast cancer, and colon cancer (no data).

IT 1102370-13-7
RL: PRPH (Prophetic)
(Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

RN 1102370-13-7 CAPLUS

CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



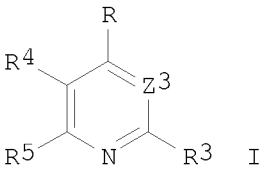
●2 HCl

L10 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:161275 CAPLUS
DOCUMENT NUMBER: 132:194387
TITLE: Preparation of quinazolines as p38-α kinase and TGF-β inhibitors
INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000012497	A2	20000309	WO 1999-US19846		19990827 <--
WO 2000012497	A3	20000629			
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6184226	B1	20010206	US 1998-141916		19980828
CA 2342250	A1	20000309	CA 1999-2342250		19990827 <--
AU 9962413	A	20000321	AU 1999-62413		19990827 <--
AU 771947	B2	20040408			
EP 1107959	A2	20010620	EP 1999-949568		19990827 <--
EP 1107959	B1	20061011			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
BR 9913648	A	20020102	BR 1999-13648		19990827 <--
JP 2002523502	T	20020730	JP 2000-567525		19990827 <--
CN 1152867	C	20040609	CN 1999-811659		19990827 <--
AT 342256	T	20061115	AT 1999-949568		19990827 <--
ES 2274642	T3	20070516	ES 1999-949568		19990827 <--
MX 2001002175	A	20030714	MX 2001-2175		20010228 <--
HK 1035897	A1	20070601	HK 2001-106212		20010904 <--
PRIORITY APPLN. INFO.:			US 1998-141916	A	19980828 <--
			WO 1999-US19846	W	19990827 <--

OTHER SOURCE(S): MARPAT 132:194387
GI



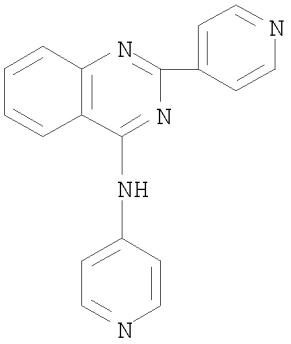
I

AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn

of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

IT 474289-44-6
RL: PRPH (Prophetic)
(Preparation of quinazolines as p38-α kinase and TGF-β inhibitors)

RN 474289-44-6 CAPLUS
CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)

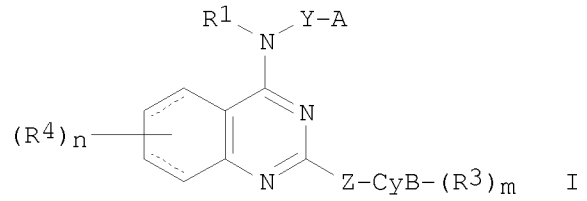


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

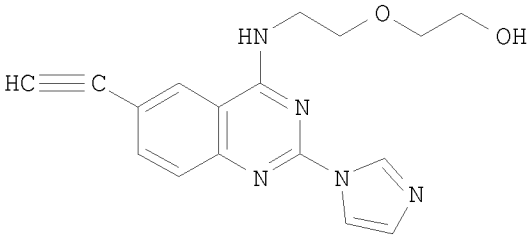
L10 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:795361 CAPLUS
DOCUMENT NUMBER: 124:29779
ORIGINAL REFERENCE NO.: 124:5715a,5718a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473 B2	19920715 <--
			US 1993-76431 B2	19930614 <--

OTHER SOURCE(S): MARPAT 124:29779
GI



I



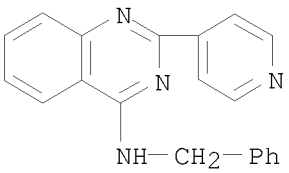
II

AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

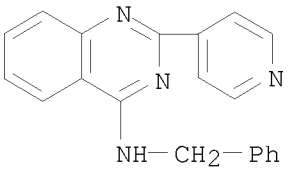
IT 157862-97-0P 157862-98-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

RN 157862-97-0 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157862-98-1 CAPLUS
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



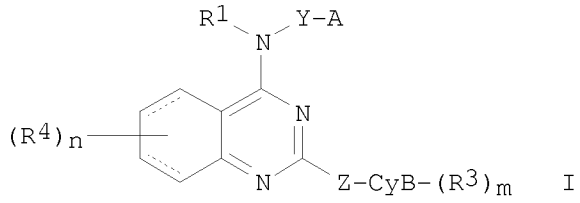
●2 HCl

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:761961 CAPLUS
DOCUMENT NUMBER: 123:340173
ORIGINAL REFERENCE NO.: 123:61059a,61062a
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436233	A	19950725	US 1993-154518	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715 <--
US 1993-76431 B2 19930614 <--
OTHER SOURCE(S): CASREACT 123:340173; MARPAT 123:340173
GI



I

AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)l, (ii) OR0 or S(O)pR0 in which R0 is R0A or R0B; R0A is CyA-(R2)l; R0B is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF3, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is, e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.

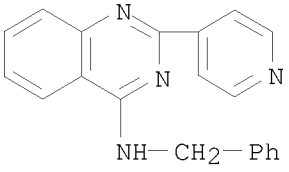
IT 157862-97-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

RN 157862-97-0 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



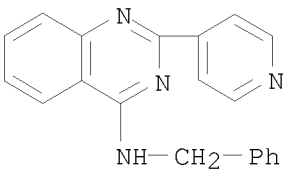
IT 157862-98-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

RN 157862-98-1 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:605373 CAPLUS

DOCUMENT NUMBER: 121:205373

ORIGINAL REFERENCE NO.: 121:37397a,37400a

TITLE: 4-aminoquinazoline derivatives, and their use as medicine

INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest Taras; Kondo, Kigen; Yu, Dingwei Tim

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

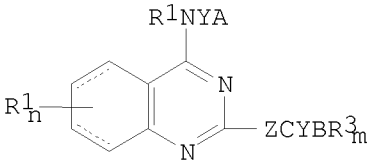
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <--
EP 579496	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

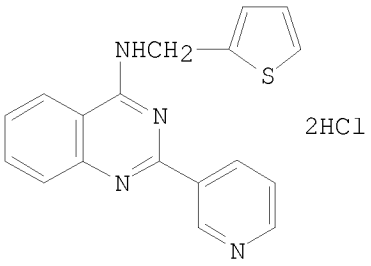
PRIORITY APPLN. INFO.: US 1992-913473 A 19920715 <--
US 1993-76431 A 19930614 <--

OTHER SOURCE(S): MARPAT 121:205373

GI



I



2HCl

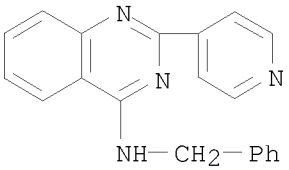
II

AB The title compds. I wherein R1 is H or alkyl; Y is bond or alkylene; A is (i) -CyAR2, (ii) -OR0 or -S(O)pR0, R0 = H, alkyl, etc., p is 0-2, (iii) -NR16R17, R16, R17 are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R2 is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR5, in which R5 is H or alkyl, (5) -NR6R7, R6, R7 are H, alkyl, (6) -SO2NR6R7, (7) halogen, (8) CF3, (9) NO2 or (10) CF3O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R3 is H, alkyl, alkoxy, halogen or CF3; R4 is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA2 synthetase. Thus, a representative prepared compound II had inhibitory activity IC50 of 3.6 x 10-7 on cGMP-PDE.

IT 157862-97-0P 157862-98-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cardiovascular agents)

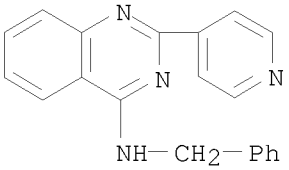
RN 157862-97-0 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157862-98-1 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

```
=> fil stnguide
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     121.68     584.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -17.22     -27.06

FILE 'STNGUIDE' ENTERED AT 18:12:09 ON 24 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 17, 2009 (20090417/UP).

=> FIL STNGUIDE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.63     584.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -27.06

FILE 'STNGUIDE' ENTERED AT 18:17:40 ON 24 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 17, 2009 (20090417/UP).

=> LOGOFF
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:U
'U' IS NOT VALID HERE
For an explanation, enter "HELP LOGOFF".

=> Y
Y IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> LOGOFF
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:Y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.28     585.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -27.06

STN INTERNATIONAL LOGOFF AT 18:20:07 ON 24 APR 2009



Connecting via Winsock to STN
```

Welcome to STN International! Enter x:X

LOGINID:SSPTABEM1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 4	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 5	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 6	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 7	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 8	FEB 10	COMPENDEX reloaded and enhanced
NEWS 9	FEB 11	WTEXTILES reloaded and enhanced
NEWS 10	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 11	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 12	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 13	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 14	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 15	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 16	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 17	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 18	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 19	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 20	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS 21	MAR 23	CA/CAplus enhanced with more than 250,000 patent equivalents from China
NEWS 22	MAR 30	IMSPATENTS reloaded and enhanced
NEWS 23	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS 24	APR 07	STN is raising the limits on saved answers
NEWS 25	APR 24	CA/CAplus now has more comprehensive patent assignee information
NEWS 26	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS 27	APR 28	CAS patent authority coverage expanded
NEWS 28	APR 28	ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS 29	APR 28	Limits doubled for structure searching in CAS REGISTRY

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:28:05 ON 28 APR 2009

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 15:28:23 ON 28 APR 2009

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2009 HIGHEST RN 1139453-56-7
DICTIONARY FILE UPDATES: 26 APR 2009 HIGHEST RN 1139453-56-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

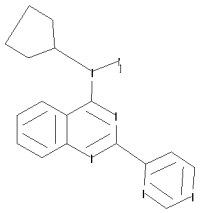
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

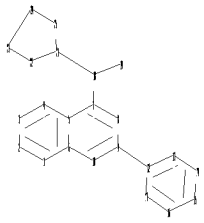
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10552426pryim.str





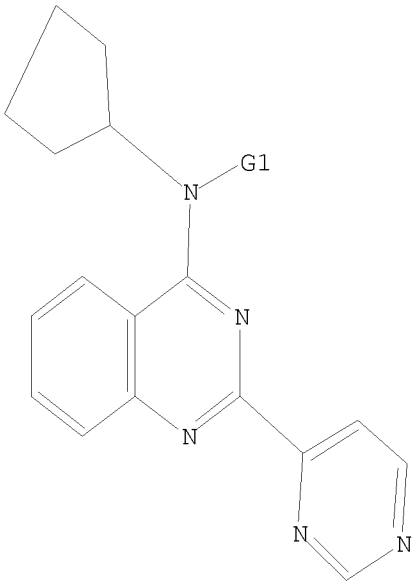
chain nodes :
19
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 21 22 23 24 25
ring/chain nodes :
18
chain bonds :
7-18 9-12 18-19 18-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 21-22 21-25 22-23 23-24 24-25
exact/norm bonds :
7-18 18-19 18-21 21-22 21-25 22-23 23-24 24-25
exact bonds :
9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
isolated ring systems :
containing 1 : 12 :

G1:Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom

L1 STRUCTURE UPLOADED

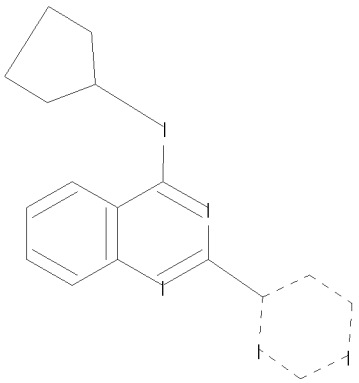
=> d l1
L1 HAS NO ANSWERS
L1 STR

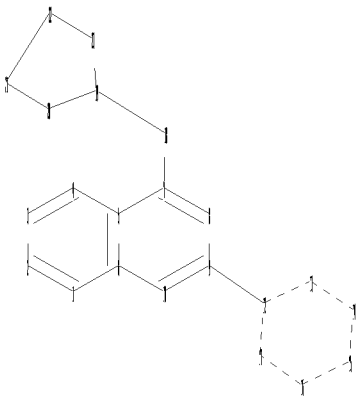


G1 Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 15:28:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED        5 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:28:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      169 TO ITERATE

100.0% PROCESSED      169 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=>
Uploading C:\Program Files\STNEXP\Queries\10552426newpyrim.str
```



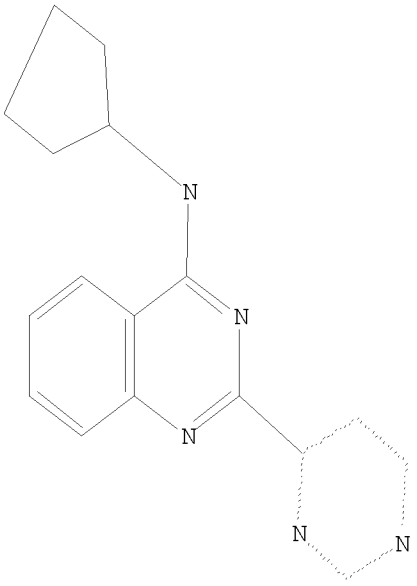


ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 19 20 21 22 23
ring/chain nodes :
18
chain bonds :
7-18 9-12 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 19-20 19-23 20-21 21-22 22-23
exact/norm bonds :
7-18 12-13 12-17 13-14 14-15 15-16 16-17 18-19 19-20 19-23 20-21 21-22
22-23
exact bonds :
9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom

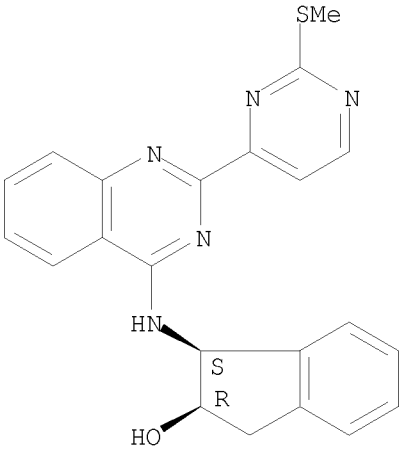
L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l4
SAMPLE SEARCH INITIATED 15:30:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED        5 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               0 TO        0

L5            0 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 15:30:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      169 TO ITERATE

100.0% PROCESSED      169 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.01

L6            2 SEA SSS FUL L4

=> d scan

L6   2 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   1H-Inden-2-ol, 2,3-dihydro-1-[[2-[2-(methylthio)-4-pyrimidinyl]-4-
     quinazolinyl]amino]-, (1S,2R)-
MF   C22 H19 N5 O S

Absolute stereochemistry.
```

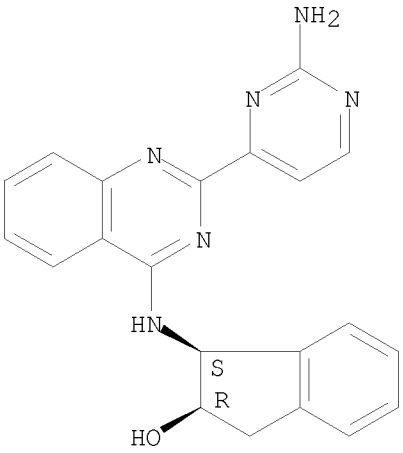


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L6 2 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-
MF C21 H18 N6 O

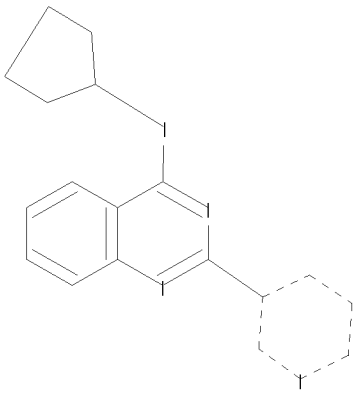
Absolute stereochemistry.

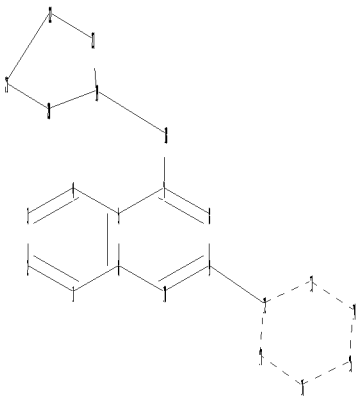


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=>
Uploading C:\Program Files\STNEXP\Queries\10552426meta.str



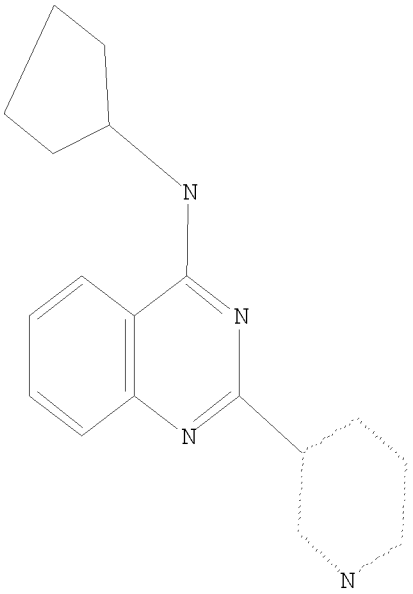


ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 19 20 21 22 23
ring/chain nodes :
18
chain bonds :
7-18 9-12 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 19-20 19-23 20-21 21-22 22-23
exact/norm bonds :
7-18 12-13 12-17 13-14 14-15 15-16 16-17 18-19 19-20 19-23 20-21 21-22
22-23
exact bonds :
9-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom

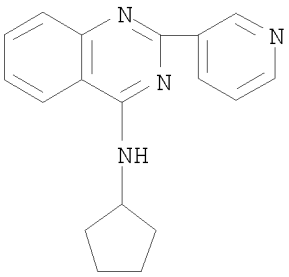
L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

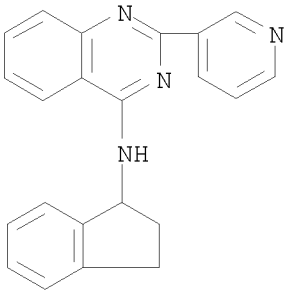
```
=> s l7
SAMPLE SEARCH INITIATED 15:32:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED        5 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               0 TO        0

L8            0 SEA SSS SAM L7

=> s l7 sss full
FULL SEARCH INITIATED 15:33:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      312 TO ITERATE

100.0% PROCESSED      312 ITERATIONS                                9 ANSWERS
SEARCH TIME: 00.00.01

L9            9 SEA SSS FUL L7

=> d scan

L9   9 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   4-Quinazolinamine, N-cyclopentyl-2-(3-pyridinyl)-
MF   C18 H18 N4
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):8

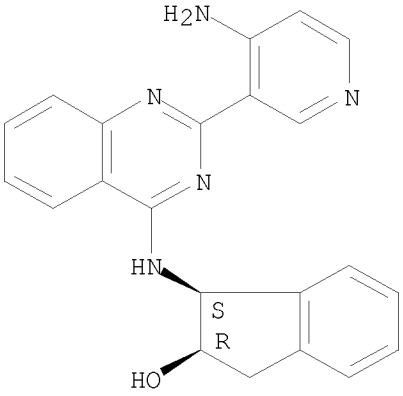
L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-(2,3-dihydro-1H-inden-1-yl)-2-(3-pyridinyl)-
MF C22 H18 N4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 1-[[2-(4-amino-3-pyridinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-
MF C22 H19 N5 O

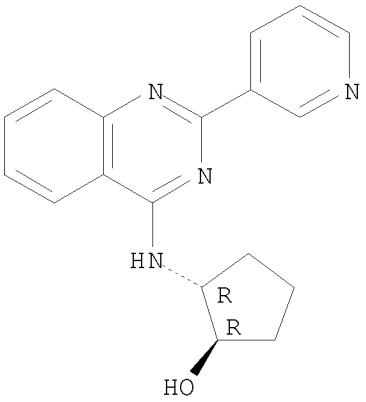
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Cyclopentanol, 2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, (1R,2R)-
MF C18 H18 N4 O

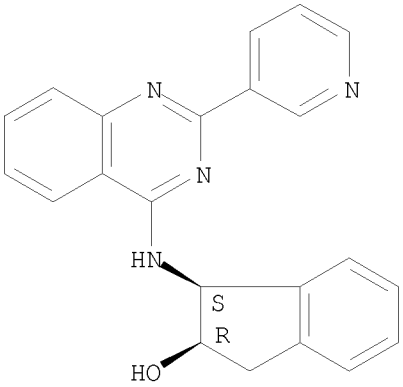
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

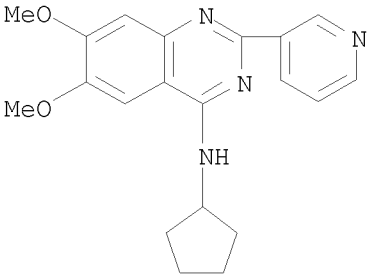
L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, (1S,2R)-
MF C22 H18 N4 O

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

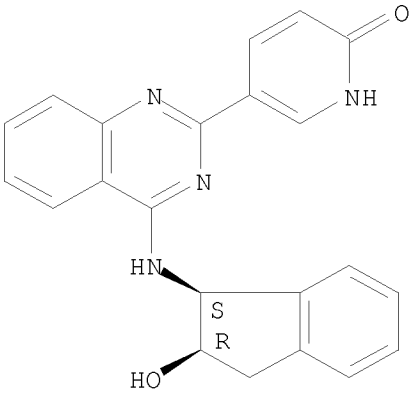
L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Quinazolinamine, N-cyclopentyl-6,7-dimethoxy-2-(3-pyridinyl)-
MF C20 H22 N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2(1H)-Pyridinone, 5-[4-[[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2-quinazolinyl]-
MF C22 H18 N4 O2

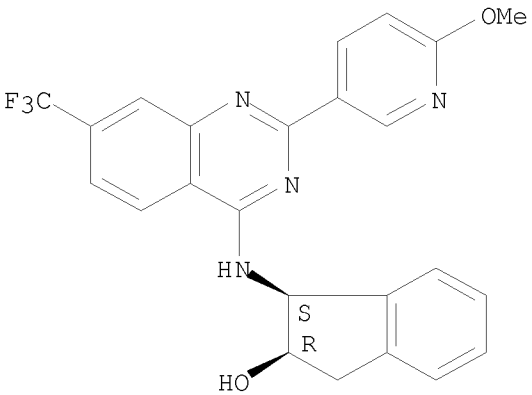
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(6-methoxy-3-pyridinyl)-7-(trifluoromethyl)-4-quinazolinyl]amino]-, (1S,2R)-
MF C24 H19 F3 N4 O2

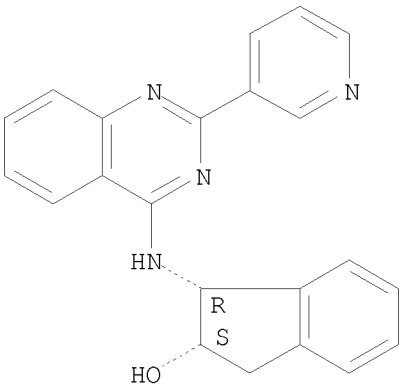
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L9 9 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, (1R,2S)-
MF C22 H18 N4 O

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> fil cap
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	560.52	560.74

FILE 'CAPLUS' ENTERED AT 15:33:40 ON 28 APR 2009

FILE COVERS 1907 - 28 Apr 2009 VOL 150 ISS 18
FILE LAST UPDATED: 27 Apr 2009 (20090427/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l9
L10 1 L9

=> d ibib abs

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902403 CAPLUS
DOCUMENT NUMBER: 141:374752
TITLE: Heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in the treatment of kinase-dependent diseases
INVENTOR(S): Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.; Schnepp, Kevin; Shi, Xian; Nuss, John
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092196	A2	20041028	WO 2004-US10858	20040408
WO 2004092196	A3	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230928	A1	20041028	AU 2004-230928	20040408
CA 2520323	A1	20041028	CA 2004-2520323	20040408
EP 1610774	A2	20060104	EP 2004-749893	20040408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006523238	T	20061012	JP 2006-509820	20040408
US 20070161651	A1	20070712	US 2005-552426	20051007
PRIORITY APPLN. INFO.:			US 2003-461446P P	20030409
			WO 2004-US10858 A	20040408

OTHER SOURCE(S): MARPAT 141:374752
AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l6
L11 1 L6

=> d ibib abs

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902403 CAPLUS
DOCUMENT NUMBER: 141:374752

TITLE: Heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in the treatment of kinase-dependent diseases

INVENTOR(S): Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.; Schnepp, Kevin; Shi, Xian; Nuss, John

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092196	A2	20041028	WO 2004-US10858	20040408
WO 2004092196	A3	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230928	A1	20041028	AU 2004-230928	20040408
CA 2520323	A1	20041028	CA 2004-2520323	20040408
EP 1610774	A2	20060104	EP 2004-749893	20040408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006523238	T	20061012	JP 2006-509820	20040408
US 20070161651	A1	20070712	US 2005-552426	20051007
PRIORITY APPLN. INFO.:			US 2003-461446P P	20030409
			WO 2004-US10858 A	20040408

OTHER SOURCE(S): MARPAT 141:374752

AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.50	567.24

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.64	-1.64

STN INTERNATIONAL LOGOFF AT 15:34:32 ON 28 APR 2009